

Ward, P.
10/663335

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DICTIONARY FILE UPDATES: 14 MAR 2006 HIGHEST RN 876856-38-1

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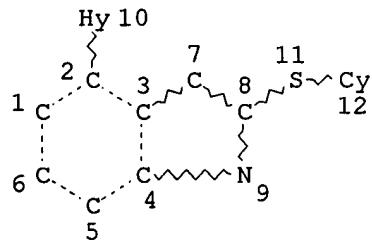
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

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L1 STR



NODE ATTRIBUTES:
CONNECT IS X3 RC AT 7
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

Searcher : Shears 571-272-2528

10/663335

L2 34 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 8713 ITERATIONS
SEARCH TIME: 00.00.01

34 ANSWERS

FILE 'CAPLUS' ENTERED AT 12:12:10 ON 15 MAR 2006
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FILE COVERS 1907 - 15 Mar 2006 VOL 144 ISS 12
FILE LAST UPDATED: 14 Mar 2006 (20060314/ED)

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L3 3 L2

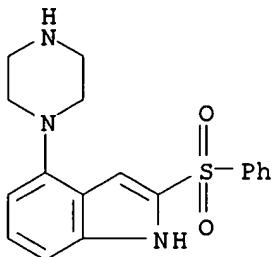
L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:470334 CAPLUS
DOCUMENT NUMBER: 143:125834
TITLE: A Three-Dimensional Pharmacophore Model for 5-Hydroxytryptamine6 (5-HT6) Receptor Antagonists
AUTHOR(S): Lopez-Rodriguez, Maria L.; Benhamu, Bellinda; de la Fuente, Tania; Sanz, Arantxa; Pardo, Leonardo; Campillo, Mercedes
CORPORATE SOURCE: Departamento de Quimica Organica I, Facultad de Ciencias Quimicas, Universidad Complutense, Madrid, E-28040, Spain
SOURCE: Journal of Medicinal Chemistry (2005), 48(13), 4216-4219
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Forty-five structurally diverse 5-hydroxytryptamine6 receptor (5-HT6R) antagonists were selected to develop a 3D pharmacophore model with the Catalyst software. The structural features for antagonism at this receptor are a pos. ionizable atom interacting with Asp3.32, a hydrogen bond acceptor group interacting with Ser5.43 and Asn6.55, a hydrophobic site interacting with residues in a hydrophobic pocket between transmembranes 3, 4, and 5, and an aromatic-ring hydrophobic site interacting with Phe6.52.
IT 676448-24-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

Searcher : Shears 571-272-2528

(three-dimensional pharmacophore model for 5-HT6 receptor antagonists)

RN 676448-24-1 CAPLUS

CN 1H-Indole, 2-(phenylsulfonyl)-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:267300 CAPLUS

DOCUMENT NUMBER: 140:303525

TITLE: Preparation of 2,4-substituted indoles as 5-HT6 modulators

INVENTOR(S): Madera, Ann Marie; Weikert, Robert James

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026831	A1	20040401	WO 2003-EP9969	20030908
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003267063	A1	20040408	AU 2003-267063	20030908
EP 1542973	A1	20050622	EP 2003-747986	20030908
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014363	A	20050719	BR 2003-14363	20030908
JP 2006502177	T2	20060119	JP 2004-537019	20030908
US 2004072844	A1	20040415	US 2003-663335	20030916

NO 2005000664
PRIORITY APPLN. INFO.:

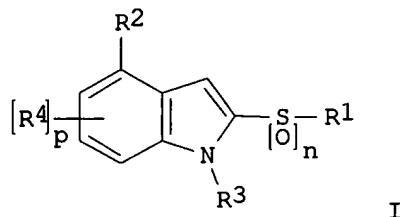
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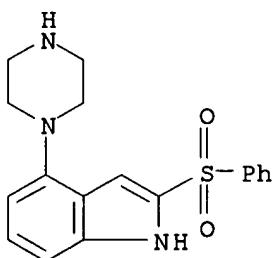
OTHER SOURCE(S): MARPAT 140:303525
GI



AB The title compds. [I; n = 0-2; p = 1-2; R1 = (un)substituted (hetero)aryl; R2 = (un)substituted heterocyclyl; R3 = H, alkyl, COR5 (wherein R5 = alkyl, alkoxy, aryl, aryloxy); R4 = H, OH, CN, alkyl, etc.], useful for treating or preventing a disease state that is alleviated by 5-HT6 agonists, were prepared E.g., a 3-step synthesis of I [n = 2; R1 = 2-FC6H4; R2 = piperazino; R3, R4 = H], was given. The compds. I were tested and found to have selective 5-HT6 receptor affinity. Activities for representative compds. I were given. The pharmaceutical composition comprising the compound I is claimed.

IT **676448-24-1P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2,4-substituted indoles for treating or preventing a disease state that is alleviated by 5-HT6 agonists)

RN 676448-24-1 CAPLUS**CN** 1H-Indole, 2-(phenylsulfonyl)-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)

IT **676447-83-9P 676447-85-1P 676447-86-2P**
676447-88-4P 676447-89-5P 676447-91-9P
676447-93-1P 676447-95-3P 676447-97-5P
676447-98-6P 676448-00-3P 676448-01-4P
676448-02-5P 676448-03-6P 676448-04-7P
676448-05-8P 676448-06-9P 676448-07-0P
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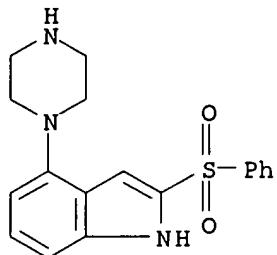
676448-26-3P 676448-27-4P 676448-28-5P

676448-29-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,4-substituted indoles for treating or preventing a disease state that is alleviated by 5-HT₆ agonists)

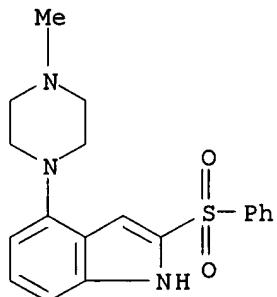
RN 676447-83-9 CAPLUS

CN 1H-Indole, 2-(phenylsulfonyl)-4-(1-piperazinyl)-, monohydrochloride
(9CI) (CA INDEX NAME)

● HCl

RN 676447-85-1 CAPLUS

CN 1H-Indole, 4-(4-methyl-1-piperazinyl)-2-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



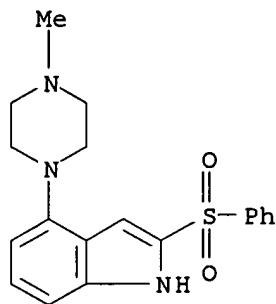
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CN 1H-Indole, 4-(4-methyl-1-piperazinyl)-2-(phenylsulfonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

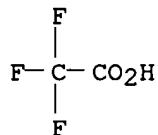
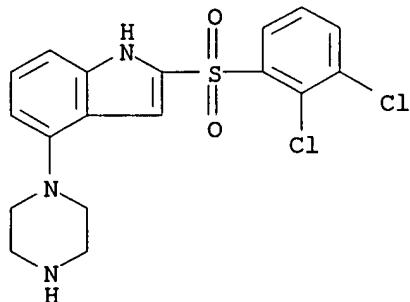
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CRN 676447-85-1

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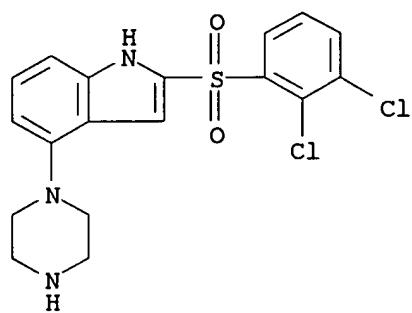


CM 2

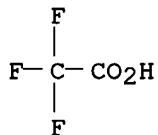
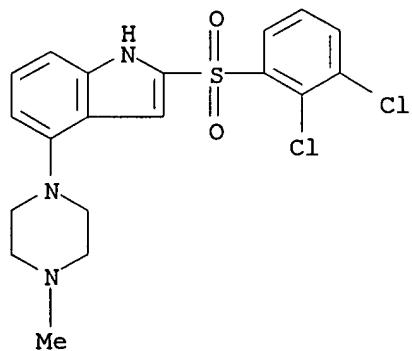
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CN 1H-Indole, 2-[(2,3-dichlorophenyl)sulfonyl]-4-(1-piperazinyl)- (9CI)
(CA INDEX NAME)RN 676447-89-5 CAPLUS
CN 1H-Indole, 2-[(2,3-dichlorophenyl)sulfonyl]-4-(1-piperazinyl)-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 676447-88-4
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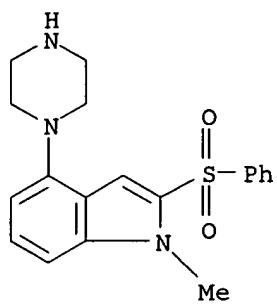


CM 2

CRN 76-05-1
CMF C2 H F3 O2RN 676447-91-9 CAPLUS
CN 1H-Indole, 2-[(2,3-dichlorophenyl)sulfonyl]-4-(4-methyl-1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

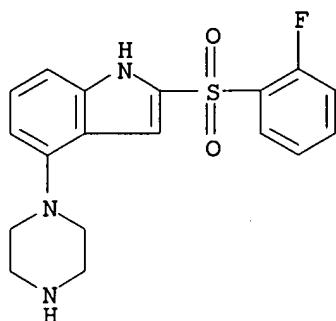
RN 676447-93-1 CAPLUS
CN 1H-Indole, 1-methyl-2-(phenylsulfonyl)-4-(1-piperazinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 676447-95-3 CAPLUS

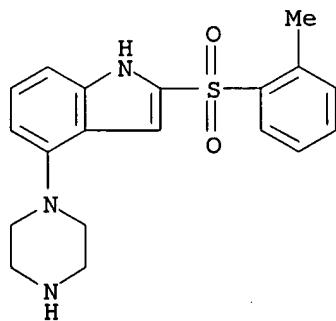
CN 1H-Indole, 2-[(2-fluorophenyl)sulfonyl]-4-(1-piperazinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 676447-97-5 CAPLUS

CN 1H-Indole, 2-[(2-methylphenyl)sulfonyl]-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 676447-98-6 CAPLUS

Searcher : Shears 571-272-2528

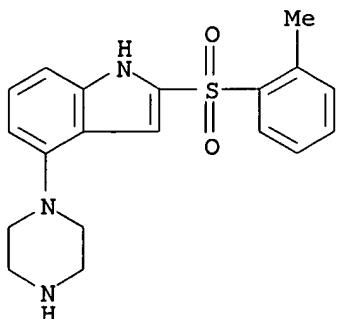
10/663335

CN 1H-Indole, 2-[(2-methylphenyl)sulfonyl]-4-(1-piperazinyl)-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 676447-97-5

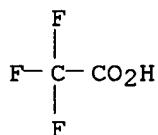
CMF C19 H21 N3 O2 S



CM 2

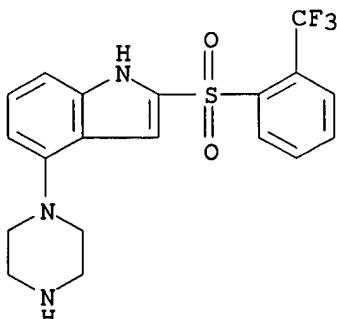
CRN 76-05-1

CMF C2 H F3 O2



RN 676448-00-3 CAPLUS

CN 1H-Indole, 4-(1-piperazinyl)-2-[(2-(trifluoromethyl)phenyl)sulfonyl]-
(9CI) (CA INDEX NAME)



RN 676448-01-4 CAPLUS

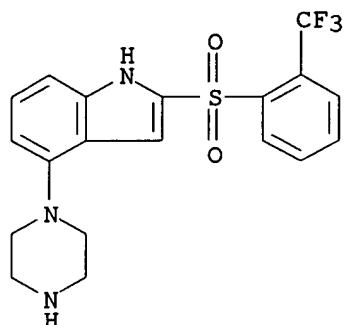
CN 1H-Indole, 4-(1-piperazinyl)-2-[(2-(trifluoromethyl)phenyl)sulfonyl]-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

Searcher : Shears 571-272-2528

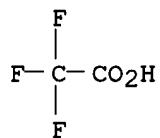
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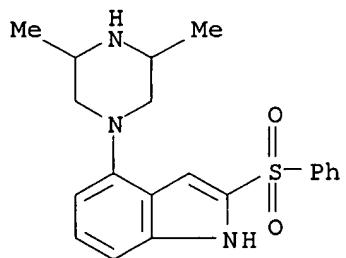


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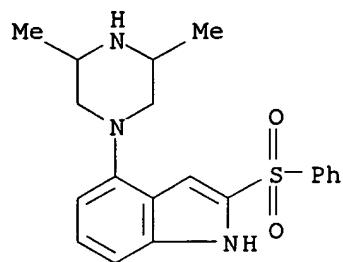
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CN 1H-Indole, 4-(3,5-dimethyl-1-piperazinyl)-2-(phenylsulfonyl)- (9CI)
(CA INDEX NAME)



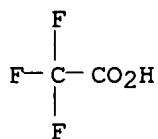
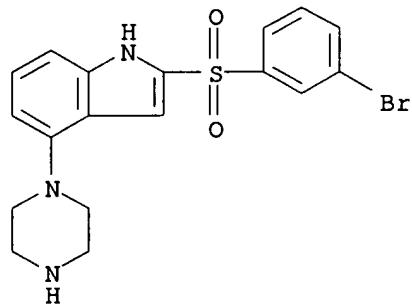
RN 676448-03-6 CAPLUS
CN 1H-Indole, 4-(3,5-dimethyl-1-piperazinyl)-2-(phenylsulfonyl)-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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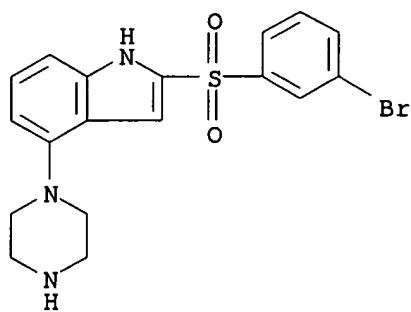


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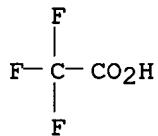
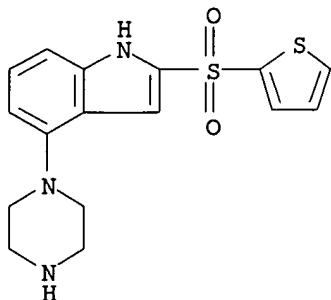
CRN 76-05-1
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CN 1H-Indole, 2-[(3-bromophenyl)sulfonyl]-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)RN 676448-05-8 CAPLUS
CN 1H-Indole, 2-[(3-bromophenyl)sulfonyl]-4-(1-piperazinyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 676448-04-7
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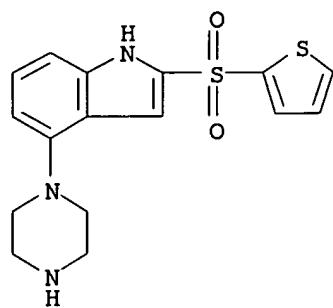


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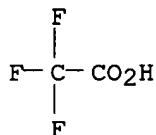
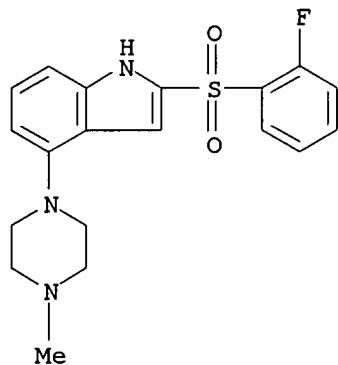
CRN 76-05-1
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CN 1H-Indole, 4-(1-piperazinyl)-2-(2-thienylsulfonyl)- (9CI) (CA INDEX NAME)RN 676448-07-0 CAPLUS
CN 1H-Indole, 4-(1-piperazinyl)-2-(2-thienylsulfonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 676448-06-9
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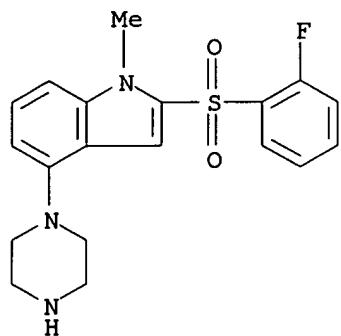


CM 2

CRN 76-05-1
CMF C2 H F3 O2RN 676448-08-1 CAPLUS
CN 1H-Indole, 2-[(2-fluorophenyl)sulfonyl]-4-(4-methyl-1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 676448-09-2 CAPLUS
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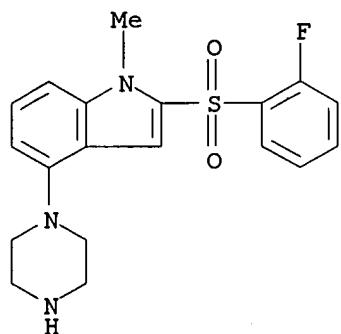
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CN 1H-Indole, 2-[(2-fluorophenyl)sulfonyl]-1-methyl-4-(1-piperazinyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 676448-09-2

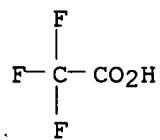
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CM 2

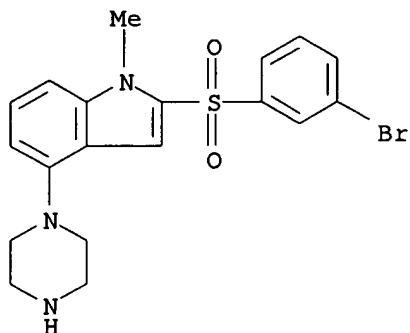
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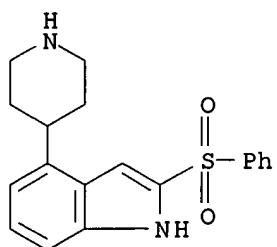
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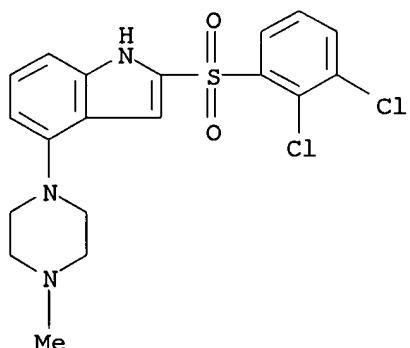


● HCl

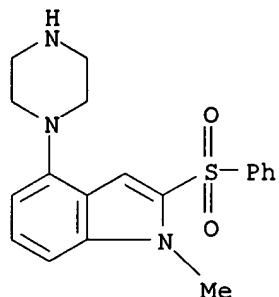
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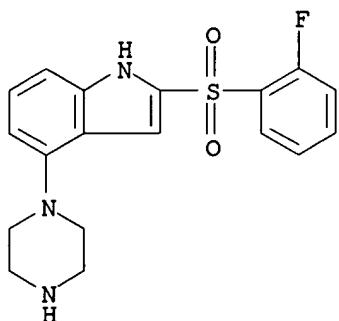


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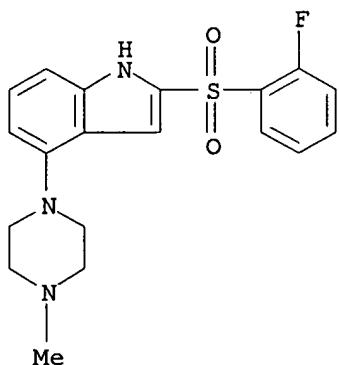
RN 676448-27-4 CAPLUS

CN 1H-Indole, 2-[(2-fluorophenyl)sulfonyl]-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)



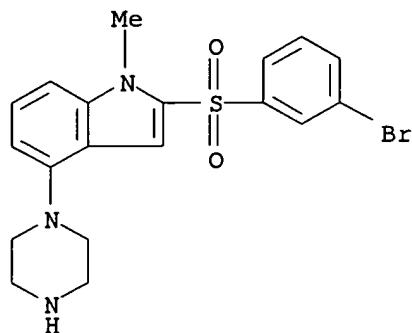
RN 676448-28-5 CAPLUS

CN 1H-Indole, 2-[(2-fluorophenyl)sulfonyl]-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 676448-29-6 CAPLUS

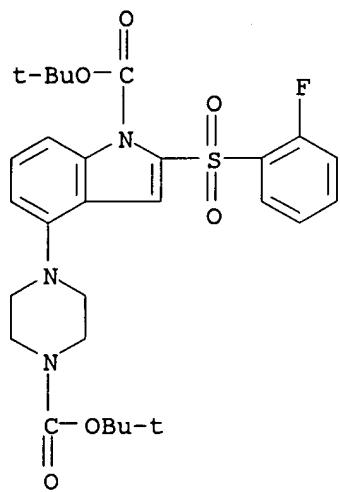
CN 1H-Indole, 2-[(3-bromophenyl)sulfonyl]-1-methyl-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)



IT 676448-14-9P 676448-16-1P 676448-23-0P

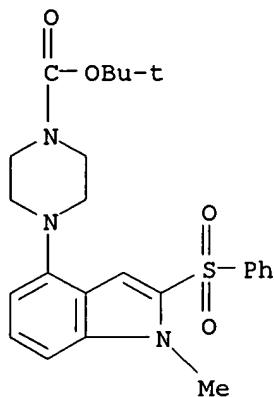
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)(preparation of 2,4-substituted indoles for treating or preventing a
disease state that is alleviated by 5-HT6 agonists)

RN 676448-14-9 CAPLUS

CN 1H-Indole-1-carboxylic acid, 4-[4-[(1,1-dimethylethoxy)carbonyl]-1-
piperazinyl]-2-[(2-fluorophenyl)sulfonyl]-, 1,1-dimethylethyl ester
(9CI) (CA INDEX NAME)

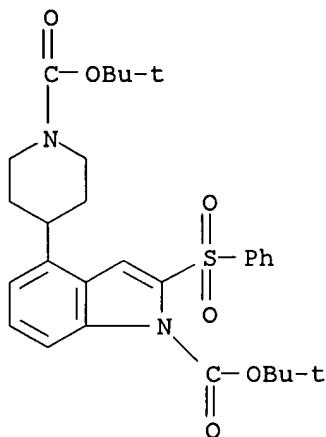
RN 676448-16-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[1-methyl-2-(phenylsulfonyl)-1H-indol-4-
yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 676448-23-0 CAPLUS

CN 1H-Indole-1-carboxylic acid, 4-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-2-(phenylsulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:712944 CAPLUS

DOCUMENT NUMBER: 126:26455

TITLE: Mechanism of Selective Incorporation of the Melanoma Seeker 2-Thiouracil into Growing Melanin

AUTHOR(S): Napolitano, Alessandra; Palumbo, Anna; d'Ischia, Marco; Prota, Giuseppe

CORPORATE SOURCE: Department of Organic and Biological Chemistry, University of Naples Federico II, Naples, I-80134, Italy

SOURCE: Journal of Medicinal Chemistry (1996), 39(26), 5192-5201

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

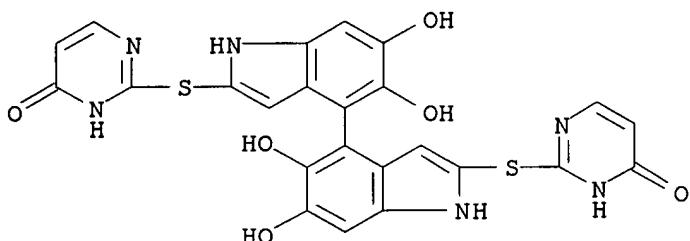
AB The mechanism of selective incorporation of 2-thiouracil (TU), a highly specific melanoma seeker, into growing melanins was investigated both in vitro and in vivo. Methods used included direct anal. of the melanins, by evaluation of the absorption at 350 nm (A350) and chemical degradation coupled with HPLC quantitation of pigment markers, i.e., pyrrole-2,3-dicarboxylic acid (PDCA) and pyrrole-2,3,5-tricarboxylic acid (PTCA), as well as biosynthetic expts. involving tyrosinase-catalyzed oxidation of DOPA, 5,6-dihydroxyindole (DHI), and 5,6-dihydroxyindole-2-carboxylic acid (DHICA). Injection of radiolabeled TU into melanoma-bearing mice resulted in a rapid incorporation of the drug into the tumor pigment, with a substantial decrease in A350 and in PTCA yields. Similar changes in the absorption properties were observed in biosynthetic melanins prepared in the presence of TU, whereas the yields of PTCA and PDCA varied depending on the pigment precursor used. When incubated with DOPA in the presence of tyrosinase, TU profoundly modified the normal course of melanogenesis, favoring formation of a complex mixture of addition products consisting mainly of 6-S-thiouracil-DOPA as well as DHI-TU adducts. The latter were obtained in larger amts. by enzymic oxidation of DHI in the presence of TU and were identified as the 3- and 2-substituted adducts, the dimer, and the trimer. Similar reactions carried out on DHICA yielded the 4-substituted adduct, the dimer, and the trimer. A new mechanistic scheme for the incorporation of TU into growing melanin is proposed, which envisages nucleophilic attack of the thioureylene moiety of TU to transient quinonoid intermediates in the melanin pathway, chiefly dopaquinone and 5,6-indolequinones, followed by entrainment of the resulting adducts into the growing pigment via oxidative copolymer. with DHICA and/or DHI.

IT 184846-16-0P 184846-17-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(mechanism of selective incorporation of melanoma seeker
2-thiouracil into growing melanin)

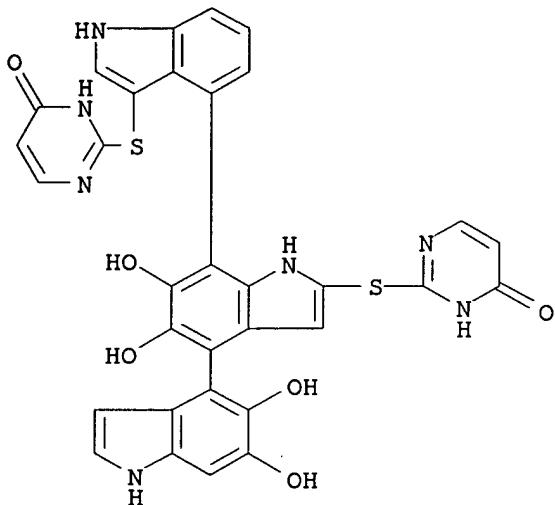
RN 184846-16-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2,2'-[{(5,5',6,6'-tetrahydroxy[4,4'-bi-1H-indole]-
2,2'-diyl)bis(thio)]bis- (9CI) (CA INDEX NAME)



RN 184846-17-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2,2'-[{(5,5',6,6'-tetrahydroxy[4,4':7',4''-ter-1H-indole]-2',3''-diyl)bis(thio)]bis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

FILE 'CAOLD' ENTERED AT 12:12:22 ON 15 MAR 2006
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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L4 0 L2

FILE 'USPATFULL' ENTERED AT 12:12:40 ON 15 MAR 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 14 Mar 2006 (20060314/PD)
FILE LAST UPDATED: 14 Mar 2006 (20060314/ED)
HIGHEST GRANTED PATENT NUMBER: US7013485
HIGHEST APPLICATION PUBLICATION NUMBER: US2006053519
CA INDEXING IS CURRENT THROUGH 14 Mar 2006 (20060314/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 14 Mar 2006 (20060314/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2005

L5 1 L2

L5 ANSWER 1 OF 1 USPATFULL on STN
 ACCESSION NUMBER: 2004:95389 USPATFULL
 TITLE: 2,4-Substituted indoles and methods of use
 INVENTOR(S): Madera, Ann Marie, Dublin, CA, UNITED STATES
 Weikert, Robert James, Boulder Creek, CA, UNITED
 STATES
 PATENT ASSIGNEE(S): Roche Palo Alto LLC, Palo Alto, CA (U.S.
 corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004072844	A1	20040415
APPLICATION INFO.:	US 2003-663335	A1	20030916 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-411480P	20020917 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	ROCHE PALO ALTO LLC, PATENT LAW DEPT. M/S A2-250, 3431 HILLVIEW AVENUE, PALO ALTO, CA, 94304	
NUMBER OF CLAIMS:	27	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1113	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a compound of the formula: ##STR1##

a pharmaceutically acceptable salt or a prodrug thereof, where R.sup.1, R.sup.2, R.sup.3, R.sup.4, p and n are those defined herein. The present invention also provides compositions comprising, methods for using, and methods for preparing Compound of Formula I.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

FILE 'MEDLINE' ENTERED AT 12:12:52 ON 15 MAR 2006

FILE 'BIOSIS' ENTERED AT 12:12:52 ON 15 MAR 2006
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L6 0 L2

FILE 'MARPAT' ENTERED AT 12:12:57 ON 15 MAR 2006
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FILE CONTENT: 1910-PRESENT VOL 144 ISS 11 (20060310/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1910-1987

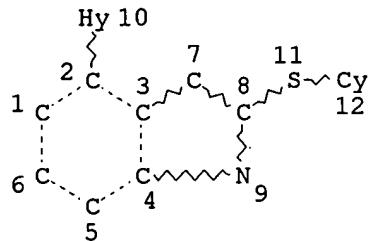
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
 (COVERAGE TO THESE DATES IS NOT COMPLETE):

10/663335

US 2006030554 09 FEB 2006
DE 102004053311 05 JAN 2006
EP 1609846 28 DEC 2005
JP 2006003337 05 JAN 2006
WO 2006012333 02 FEB 2006
GB 2415429 28 DEC 2005
FR 2873371 27 JAN 2006
RU 2266908 27 DEC 2005
CA 2495134 23 DEC 2005

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L7 STR



NODE ATTRIBUTES:

CONNECT IS X3 RC AT 7
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 10 12
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

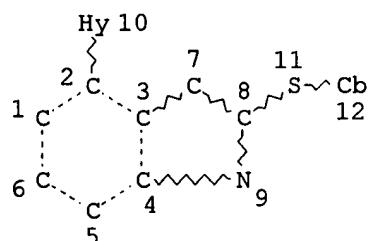
RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

L9 105 SEA FILE=MARPAT SSS FUL L7 (MODIFIED ATTRIBUTES)
L10 STR



NODE ATTRIBUTES:

CONNECT IS X3 RC AT 7
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 10
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

L11 29 SEA FILE=MARPAT SUB=L9 SSS FUL L10 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 94 ITERATIONS

29 ANSWERS

SEARCH TIME: 00.00.01

L11 ANSWER 1 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 143:483193 MARPAT

TITLE: Pharmaceutical compositions containing myricitrin or related compounds for treatment of sleeping disorders

INVENTOR(S): Chan, Hsiao Chang; Gou, Yu Lin; Rowlands, Dewi Kenneth; Chung, Yiu Wa

PATENT ASSIGNEE(S): Hong Kong

SOURCE: U.S. Pat. Appl. Publ., 43 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005261167	A1	20051124	US 2005-129628	20050513
WO 2005115547	A2	20051208	WO 2005-US16783	20050513
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2004-572528P 20040518

AB Provided herein is a composition that contains an effective amount of one or more compds. for treating, preventing, or ameliorating a disorder such as insomnia or another sleeping disorder and using the composition. Mice were orally administered a mixture containing dihydromyricetin 75.46, myricetin 23.26, and myricitrin 1.27% 60 min prior to low dose injection of sodium pentobarbitone (12.5 mg/kg, i.p.). The mixture was able to significantly prolong pentobarbital induced-sleeping time.

L11 ANSWER 2 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 143:460024 MARPAT

TITLE: Indole derivatives as chemical uncouplers, their

preparation, pharmaceutical compositions, and use
in treatment of obesity and related conditions

INVENTOR(S): Olesen, Preben Houlberg; Hohlweg, Rolf

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2

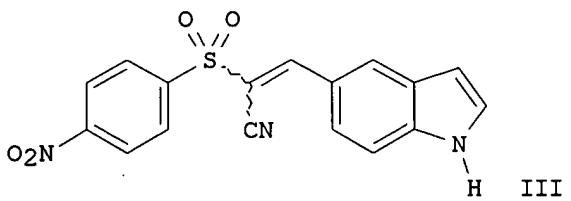
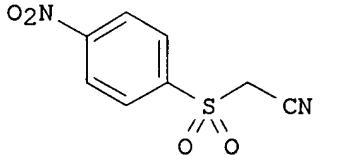
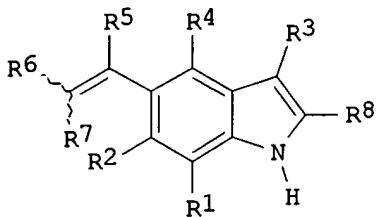
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005105785	A2	20051110	WO 2005-EP52017	20050503
WO 2005105785	A3	20060119		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:		DK 2004-708		20040504
GI				



AB The invention relates to 5-vinyl-indole derivs. I, which are chemical uncouplers useful, e.g., for the treatment of obesity. In compds. I, R1 to R4 are independently selected from H, halo, nitro, cyano, (un)substituted haloalkyl, (un)substituted alkoxy, (un)substituted alkylamino, (un)substituted alkyl, (un)substituted aryl, (un)substituted heteroaryl, etc.; R5 is H, halo, nitro, cyano, alkyl, alkenyl, alkynyl, alkoxy, or alkylamino; R6 is 4-pyridinium radical,

alkyl, alkenyl, alkynyl, carbonyloxy, carbonylamino, etc.; R7 is H or cyano, provided that if R7 is H, then R6 is a 4-pyridinium radical, or R6 and R7, together with the carbon atom to which they are attached, may form a 4-(dicyanomethylene)dihydrophenyl moiety; and R8 is selected from H, halo, nitro, cyano, (un)substituted haloalkyl, (un)substituted alkoxy, (un)substituted alkylamino, (un)substituted alkyl, (un)substituted aryl, (un)substituted heteroaryl, etc. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound of formula I, as well as to the use of the compns. in the treatment of obesity and related conditions.

Chloroacetonitrile was substituted with 4-nitrothiophenol followed by oxidation to give sulfonylacetonitrile II. Knoevenagel condensation of II with 5-formylindole resulted in the formation of indolylacrylonitrile III. The compds. of the invention act as chemical uncouplers (no data) useful in the treatment of obesity and related conditions.

L11 ANSWER 3 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 143:341070 MARPAT

TITLE: Synergistic broad-spectrum microbicide compositions containing sulfamoyl compounds and dipeptides or basic copper chloride

INVENTOR(S): Suzuki, Hiroyuki; Hasunuma, Nakako

PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 34 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

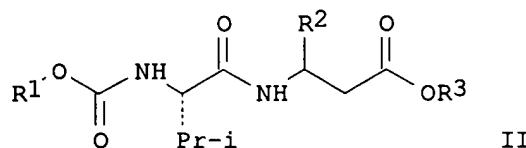
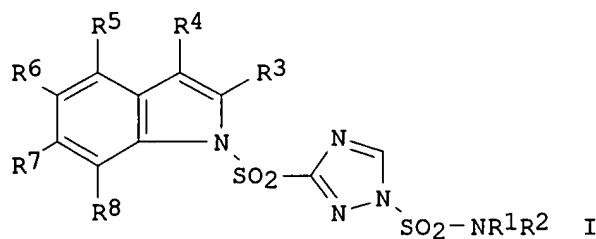
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005272310	A2	20051006	JP 2004-84042	20040323
PRIORITY APPLN. INFO.:			JP 2004-84042	20040323

GI

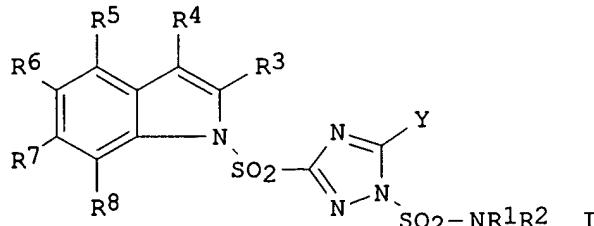


AB The microbicide compns. contain (A) sulfamoyl compds. I [R1, R2 = C1-4 alkyl; R1R2 may form C4-6 alkylene; Y = H, halo, C1-8 alkyl, C1-6 alkoxy, C1-10 alkylthio, C1-6 haloalkyl, C1-6 haloalkylthio, (un)substituted benzylthio, (un)substituted Ph, (un)substituted benzyl; R3-R8 = H, C1-8 alkyl, C3-8 cycloalkyl, C2-8 alkenyl, C5-8 cycloalkenyl, C2-8 alkynyl, C1-8 alkoxy, etc.] and/or their agrochem. acceptable salts and (B) dipeptides II (R1 = iso-Pr, Ph; R2 = Me; R3 = Ph substituted with R4 at the 4-position, 2-benzothiazolyl which may be substituted with R5; R4, R5 = F, Cl, Me, Et, MeO, cyano) or (C) basic copper chloride (copper oxychloride) (III). Concomitant application of 1-(N,N-dimethylsulfamoyl)-3-(3-bromo-6-fluoro-2-methylindol-1-yl)sulfonyl-1,2,4-triazole (at 0.625 g/ha) and Me (\pm)-RS-[3-(N-isopropoxycarbonyl-S-valinyl)amino]-3-(4-chlorophenyl)propanoate (at 2.5 g/ha) showed $\geq 80\%$ control of disease caused by Phytophthora infestans in potato.

L11 ANSWER 4 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 142:311366 MARPAT
 TITLE: Method and agents for control of clubroot disease with sulfamoyl compounds
 INVENTOR(S): Suzuki, Hiroyuki; Hasunuma, Nakako
 PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005082479	A2	20050331	JP 2003-312347	20030904
PRIORITY APPLN. INFO.:			JP 2003-312347	20030904



AB Clubroot disease (*Plasmodiophora brassicae*) is controlled with sulfamoyl compds. I (R1, R2 = C1-4 alkyl; R1R2 may form C4-6 alkylene; Y = H, halo, C1-8 alkyl, etc.; R3-R8 = H, C1-8 alkyl, C3-8 cycloalkyl, etc.). I (R1 = R2 = R3 = Me, R4 = Cl, R5 = R7 = F, R6 = R8 = Y = H) (at 200 ppm) showed $\geq 60\%$ inhibition of *Plasmodiophora brassicae* in Chinese cabbage. Formulation examples are given.

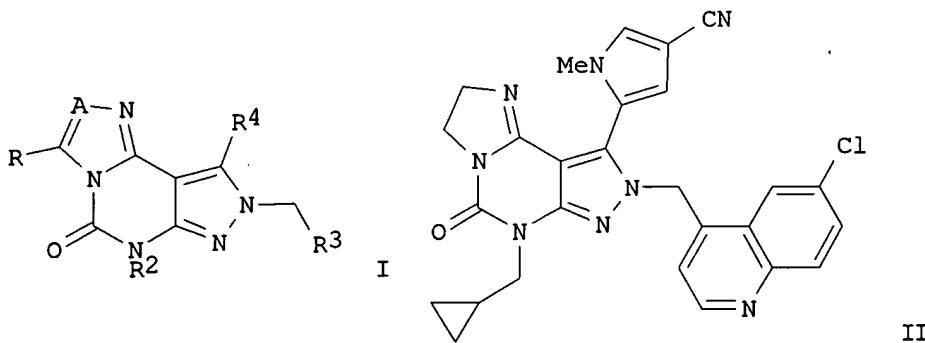
L11 ANSWER 5 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 142:261549 MARPAT
 TITLE: Preparation of imidazo[1,2-c]pyrazolo[4,3-e]pyrimidine derivatives as glutamate racemase inhibitors

INVENTOR(S): Basarab, Gregory S.; Eyermann, Charles J.;
Gowravaram, Madhusudhan R.; Green, Oluyinka;
Kiely, Andrew; MacPherson, Lawrence J.;
Morningstar, Marshall L.; Thanh, Nguyen
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016929	A1	20050224	WO 2004-GB3464	20040812
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2003-495615P 20030815
GI



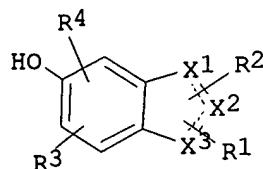
AB Title compds. represented by the formula I [wherein A = N or (un)substituted C; R = H, halo, (un)substituted alkyl, sulfide, etc.; R₂ = H, (un)substituted (cyclo)alkyl, alkenyl, aryl, etc.; R₃ = (un)substituted hetero(bi)cyclic ring; and pharmaceutically acceptable salts thereof] were prepared as glutamate racemase inhibitors. For example, II was given in a multi-step synthesis starting from the reaction of 6-chlorouracil with cyclopropylmethyl bromide. I showed inhibition of glutamate racemase with IC₅₀ values of less than 400 μ M. Thus, I and their pharmaceutical compns. are useful as glutamate racemase inhibitors for the treatment or prophylaxis of *H. pylori* infection.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L11 ANSWER 6 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 142:198073 MARPAT
 TITLE: Preparation of heterocyclic compounds useful as malonyl-CoA decarboxylase inhibitors
 INVENTOR(S): Cheng, Jie Fei; Nguyen, Bao Ngoc; Liu, Xuewei; Lopaschuk, Gary D.; Dyck, Jason R.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 18 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005026969	A1	20050203	US 2004-900958	20040728
WO 2005011670	A1	20050210	WO 2004-US24285	20040728
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.: GI			US 2003-492030P	20030801



AB The present invention provides methods for the use of compds. I [X1, X2, X3 = O, N, NH, NR5, S, C; R1, R2 = H, halogen, substituted C1-6-alkyl, substituted C1-6-alkenyl, substituted C1-6-alkynyl, alkoxy, (un)substituted Ph, aryl, (un)substituted heteroaryl, NHCONR5R6, COR5, CONR5R6, S(O)nR5, SO2NR5R6; R3, R4 = H, Br, Cl, F, I, OH, OMe, CO2H, CO2R5, CONR5R6, S(O)nR5, SO2NR5R6, substituted C1-6-alkyl, C1-6-alkoxy, (un)substituted Ph, aryl, heteroaryl; R5, R6 = H, (un)substituted C1-6-alkyl, (un)substituted Ph, aryl, heteroaryl], its enantiomers, diastereomers, tautomers, or physiol. acceptable salts or prodrugs, pharmaceutical compns. containing the same, and methods for the prophylaxis, management and treatment of metabolic diseases and diseases modulated by MCD inhibition. Thus, benzofuran I

[X1 = CC(:O)NHC6H3(OMe)2-3,4, X2 = CH, X3 = O, R3 = 4-Br, R4 = 6-Br] was prepared from 5-methoxybenzofuran-2-carboxylic acid via regioselective bromination at C(3), decarboxylation, debromination-carboxylation at C(3), O-demethylation, regioselective dibromination and amidation with 3,4-dimethoxyaniline. The compds. disclosed in this invention are useful for the prophylaxis, management and treatment of diseases involving in malonyl-CoA regulated glucose/fatty acid metabolism pathway. The inhibitory activity of I vs. malonyl-CoA decarboxylase was determined [Ki = 31.6 - 4750.2 μ M]. In particular, these compds. and pharmaceutical composition containing the same are indicated in the prophylaxis, management and treatment of cardiovascular diseases, diabetes, cancer and obesity.

L11 ANSWER 7 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 141:225308 MARPAT
 TITLE: Preparation of indolylmaleimides for preventing or treating disorders or diseases mediated by T lymphocytes and/or PKC or GSK-3 β
 INVENTOR(S): Von Matt, Peter; Wagner, Juergen
 PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
 SOURCE: PCT Int. Appl., 28 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072062	A2	20040826	WO 2004-EP1323	20040212
WO 2004072062	A3	20041104		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG			
CA 2513613	AA	20040826	CA 2004-2513613	20040212
EP 1597250	A2	20051123	EP 2004-710393	20040212
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			GB 2003-3319	20030213
			WO 2004-EP1323	20040212

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Ra = H, alkyl, hydroxyalkyl, aminoalkyl, etc.; Rb = H, halo, alkyl, alkoxy; R = II, III (wherein R1, R3 = heterocycl, XRcY; X = a direct bond, O, S, NR11; R11 = H, alkyl; Rc = (un)substituted alkylene; Y = OH, (un)substituted NH2, etc.; R2, R4 = H, halo, alkyl, alkoxy, CF3, CN, NO2, NH2)], were prepared E.g., a multi-step synthesis of IV which showed, for example, IC50 of 5.4 nM

against PKC θ and IC50 of 18 nM against GSK-3 β , is given.
 The pharmaceutical composition comprising the compound I is claimed.

L11 ANSWER 8 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 141:106488 MARPAT
 TITLE: Preparation of pyrazolo[3,4-d]pyrimidine derivatives for treatment of H.pylori infection
 INVENTOR(S): Basarab, Gregory; Eyermann, Joseph; Gowravaram, Madhusudhan; Green, Oluyinka; Macpherson, Lawrence; Morningstar, Marshall; Nguyen, Thanh
 PATENT ASSIGNEE(S): AstraZeneca Ab, Swed.
 SOURCE: PCT Int. Appl., 129 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056831	A1	20040708	WO 2003-SE2033	20031219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003288869	A1	20040714	AU 2003-288869	20031219
EP 1585748	A1	20051019	EP 2003-781250	20031219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			SE 2002-3825	20021220
			WO 2003-SE2033	20031219

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

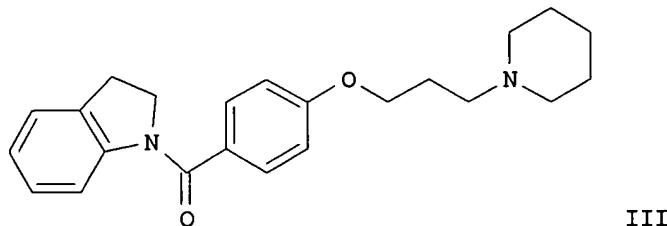
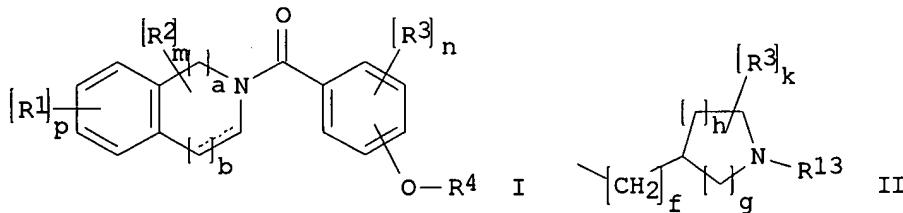
AB The title compds. I-IV [wherein X = S, O, or NR20, with exclusions; W = S, O, or NR20, with an exclusion; R1 = H, (un)substituted alkyl, alkenyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = (hetero)cyclyl; R4 = (hetero)cyclyl; R20 = H, CN, (un)substituted alkyl, etc.] or pharmaceutically acceptable salts thereof are prepared for the treatment or prophylaxis of H. pylori infection. For example, the compound V was prepared in a multi-step synthesis. These compds. showed IC50 of <400 μ M against glutamate racemase.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 140:375087 MARPAT
 TITLE: Preparation of bicyclic benzamides as histamine H3 receptor ligands useful in the treatment of neurological diseases
 INVENTOR(S): Best, Desmond John; Orlek, Barry Sidney
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 51 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037788	A1	20040506	WO 2003-EP11650	20031020
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003278119	A1	20040513	AU 2003-278119	20031020
EP 1554243	A1	20050720	EP 2003-769430	20031020
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006505623	T2	20060216	JP 2005-501524	20031020
PRIORITY APPLN. INFO.:			GB 2002-24557	20021022
			GB 2003-6328	20030319
			WO 2003-EP11650	20031020

GI



AB The title compds. [I; R1, R2 = halo, OH, CN, etc.; a, b = 0-2 (a and b cannot both = 0); R3 = halo, alkyl, alkoxy, CN, NH2, CF3; m, n = 0-2; p = 0-3 (when p = > 1 then two R1 may instead be linked to form a heterocyclyl); R4 = (CH2)qNR11R12, II (wherein q = 2-4; R11, R12 = alkyl; or NR11R12 = (un)substituted heterocyclyl; R13 = H, alkyl, cycloalkyl, alkylaryl, heterocyclyl; R14 = halo, alkyl, haloalkyl, OH, dialkylamino, alkoxy; f, k = 0-2; g = 0-2 and h = 0-3 (g and h cannot both be 0))], useful in the treatment of neurol. and psychiatric disorders, were prepared Thus, reacting 4-[3-(piperidin-1-yl)propoxy]benzoic acid hydrochloride (preparation given) with indoline afforded III which exhibited pKb ≥ 8.5 in the histamine H3 functional antagonist assay. The pharmaceutical composition comprising the compound I is claimed.

L11 ANSWER 10 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 140:357119 MARPAT

TITLE: Preparation of amino morpholinopurine derivatives for treating interleukin-12 overproduction-related disorders

INVENTOR(S): Sun, Lijun; Ono, Mitsunori; Wada, Yumiko; Ying, Weiwen; Przewloka, Teresa; Kostik, Elena

PATENT ASSIGNEE(S): Synta Pharmaceuticals Corp., USA

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

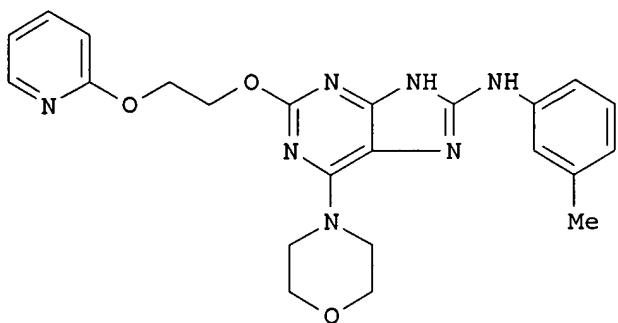
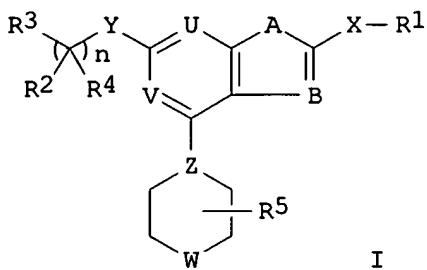
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035740	A2	20040429	WO 2003-US32546	20031014
WO 2004035740	A3	20041216		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2502356	AA	20040429	CA 2003-2502356	20031014
US 2004198725	A1	20041007	US 2003-686505	20031014
EP 1556140	A2	20050727	EP 2003-776373	20031014
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006507273	T2	20060302	JP 2004-545265	20031014
PRIORITY APPLN. INFO.:			US 2002-418984P	20021015
			WO 2003-US32546	20031014

GI



AB The title compds. I [R1 = (hetero)aryl; R2, R4 = H, halo, CN, alkyl, etc.; R3 = H, halo, CN, alkyl, alkenyl, alkynyl, aryl, heteroaryl, (hetero)cyclyl, etc.; R5 = H or alkyl; n = 0-6; A = O, S, SO, SO₂, etc; B = N or CRa; X = O, S, SO, SO₂, etc; Y = a bond, CO, C=NRb, O, S, SO, SO₂, etc; Z = N or CH; U, V = N or CRa; W = O, S, NRc; Ra = H, alkyl, aryl, acyl, sulfonyl, etc.; Rb = H, alkyl, (hetero)aryl, (hetero)cyclyl; Rc = H, alkyl, aryl, acyl, sulfonyl; with provisos] were prepared for treating interleukin-12 overproduction-related disorders. Thus, reaction of 5,6-diamino-2-[2-(pyridin-2-yloxy)ethoxy]-4-morpholinopyrimidine (preparation given) with m-tolyl isocyanate yielded compound II. The prepared compds. were assayed on human PBMC or THP-1 cell and showed IC₅₀ < 1 nM.

L11 ANSWER 11 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 140:303525 MARPAT

TITLE: Preparation of 2,4-substituted indoles as 5-HT₆ modulators

INVENTOR(S): Madera, Ann Marie; Weikert, Robert James

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

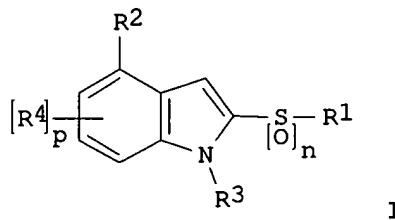
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026831	A1	20040401	WO 2003-EP9969	20030908
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD,			

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ,
 LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,
 NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
 SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA,
 ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR,
 NE, SN, TD, TG

CA 2498946	AA	20040401	CA 2003-2498946	20030908
AU 2003267063	A1	20040408	AU 2003-267063	20030908
EP 1542973	A1	20050622	EP 2003-747986	20030908
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014363	A	20050719	BR 2003-14363	20030908
JP 2006502177	T2	20060119	JP 2004-537019	20030908
US 2004072844	A1	20040415	US 2003-663335	20030916
NO 2005000664	A	20050415	NO 2005-664	20050208
PRIORITY APPLN. INFO.:				
			US 2002-411480P	20020917
			WO 2003-EP9969	20030908

GI



AB The title compds. [I; n = 0-2; p = 1-2; R1 = (un)substituted (hetero)aryl; R2 = (un)substituted heterocyclyl; R3 = H, alkyl, COR5 (wherein R5 = alkyl, alkoxy, aryl, aryloxy); R4 = H, OH, CN, alkyl, etc.], useful for treating or preventing a disease state that is alleviated by 5-HT6 agonists, were prepared E.g., a 3-step synthesis of I [n = 2; R1 = 2-FC6H4; R2 = piperazino; R3, R4 = H], was given. The compds. I were tested and found to have selective 5-HT6 receptor affinity. Activities for representative compds. I were given. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 139:365221 MARPAT

TITLE: Preparation of amino acid derivatives as antidiabetic agents

INVENTOR(S): Maruta, Katsunori; Nagata, Ryu; Iwai, Kiyotaka; Ushiroda, Kantaro; Yoshida, Kozo

PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan

SOURCE: PCT Int. Appl., 207 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

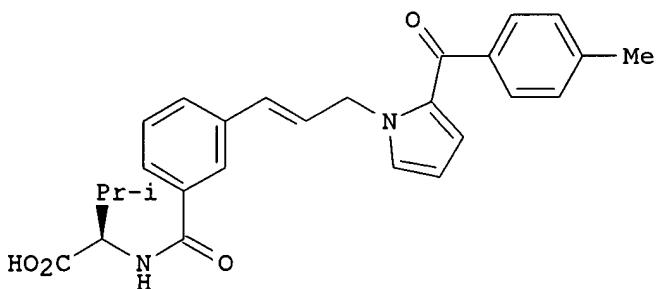
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091211	A1	20031106	WO 2003-JP3935	20030328
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003220896	A1	20031110	AU 2003-220896	20030328
PRIORITY APPLN. INFO.:			JP 2002-90206	20020328
			WO 2003-JP3935	20030328

GI



AB The title compds. with general formula of R1-X1-Ar1-W1-Z-W2-Ar2 [wherein ring Z = (un)substituted pyrrole, pyrazole, imidazole, triazole, indole, indazole, or benzimidazole; W2 = a single bond, SO, SO₂, (un)substituted CONH, SO₂NH, alkylene, alkenylene, or alkynylene; Ar2 = (un)substituted aryl or heteroaryl; W1 = (un)substituted alkylene, alkenylene, alkynylene, or Y-W3, etc.; Y = O, S, or (un)substituted NH; W3 = (un)substituted alkylene, alkenylene, or alkynylene; Ar1 = (un)substituted arylene or heteroarylene; X1 = SO₂, OCO₂, SO₃, (un)substituted CONHSO₂, NHSO₂, NHCO, SO₂NHCO, SO₂NH, CONH, OCONH, NHCONH, -NH-C(NH₂)=N-, NHCO₂, or Y₂-W4; Y₂ = S, (un)substituted NHCO, CONH, CH=NO, NH, -N(CO₂H)-, -N(COH)-, -N(SO₂H)-, or -N(CONH₂)-; W4 = (un)substituted alkylene; R1 = (un)substituted alkyl, alkoxy, alkenyl, or alkynyl, etc.] and prodrugs or pharmaceutically acceptable salts thereof are prepared. The title compds. have an effect of activating PPAR α , PPAR γ , or controlling the activation of PPAR α / γ , and improve insulin resistance, and are useful for the treatment of diabetes (no data). For example, the compound I was prepared in a multi-step synthesis. I showed agonist activities of 20.2 and 4.2 at the concentration of 10 μ M against human PPAR α and PPAR γ , resp.

REFERENCE COUNT:

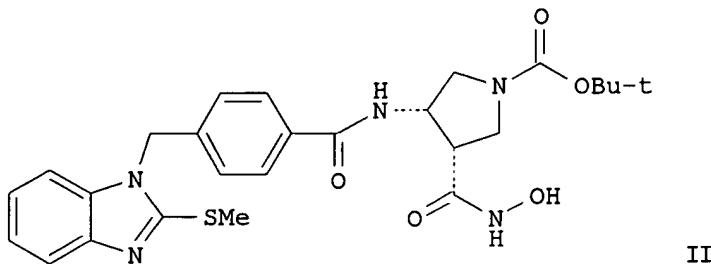
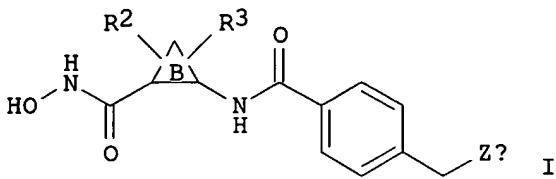
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THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 138:271682 MARPAT
 TITLE: Preparation of cyclic hydroxamic acids as inhibitors of matrix metalloproteinases and/or TNF- α converting enzyme for treatment of inflammatory disorders
 INVENTOR(S): Ott, Gregory; Chen, Xiao-Tao; Duan, Jingwu; Lu, Zhonghui
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 344 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024899	A2	20030327	WO 2002-US29685	20020916
WO 2003024899	A3	20031127		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003139388	A1	20030724	US 2002-244626	20020916
US 6740649	B2	20040525		
EP 1427408	A2	20040616	EP 2002-775865	20020916
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
PRIORITY APPLN. INFO.:			US 2001-322630P	20010917
			WO 2002-US29685	20020916

GI



AB Title compds. I [wherein ring B = (un)substituted 4-7 membered (hetero)cyclic ring containing 0-2 O, N, NR₁, or SOp atoms and 0-3 carbonyl groups; R₁ and R₂ = independently Q, alk(en/yn)ylene-Q, or (un)substituted alkylene-Q interrupted by O, NR_a, CO, CO₂, CONRa, NR_aCO, NR_aCO₂, NR_aCONRa, SOp, NR_aSO₂, or SO₂NR_a; or R₁ = (un)substituted alkylene-Q interrupted by OCO, OCO₂, or OCONRa; Q = H or (un)substituted (hetero)cyclyl; R₃ = Q₁, Cl, F, alk(en/yn)ylene-Q₁, or (un)substituted alkylene-Q₁ interrupted by O, NR₁, NR_aCO, CONRa, CO, CO₂, SOp, or SO₂NR_a; Q₁ = H or (un)substituted Ph, naphthyl, or heterocyclyl; Za = (un)substituted benzimidazolyl, indolyl, imidazopyridinyl, pyrazolypyridinyl, benzofuranyl, benzothiazinyl, quinolinyl, etc.; Ra = independently H, alkyl, Ph, or benzyl; p = 0-2; or stereoisomers or pharmaceutically acceptable salts thereof] were prepared as inhibitors of matrix metalloproteinases (MMP), TNF- α converting enzyme (TACE), aggrecanase, or a combination thereof. For example, reaction of benzyl Me maleate with paraformaldehyde and glycine gave benzyl Me (cis)-3,4-pyrrolidinedicarboxylate (100%). BOC-protection (64%), debenzylation (96%), resolution of the (3S,4S)-isomer with (S)- α -methylbenzylamine, conversion to the carbamate with DPPA and PhCH₂OH (76%), and Pd catalyzed hydrogenation (100%) provided Me (3S,4S)-4-amino-1-(tert-butoxycarbonyl)-3-pyrrolidinecarboxylate. Coupling of the amine with 4-[(2-methylthio-1H-benzimidazol-1-yl)methyl]benzoic acid (preparation given) afforded the amide (99%), which was treated with NH₂OH•HCl/MeONa to give the hydroxamic acid (3S,4S)-II (33%). A number of the compds. of the invention inhibited MMP-1, 2, 3, 7, 8, 9, 10, 12, 13, 14, 15, and/or 16 with Ki values of \leq 10 μ M. Thus, I are useful for the treatment of a wide variety of inflammatory disorders (no data).

L11 ANSWER 14 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 138:55866 MARPAT

TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors for treatment of inflammatory conditions

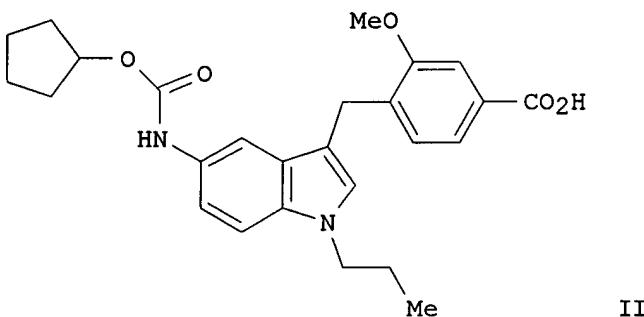
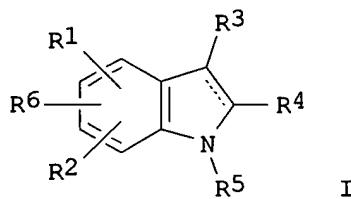
INVENTOR(S): Seehra, Jasbir S.; McKew, John C.; Lovering, Frank; Bemis, Jean E.; Xiang, Yibin; Chen, Lihren;

PATENT ASSIGNEE(S): Knopf, John L.
 Genetics Institute, LLC, USA
 SOURCE: U.S., 57 pp., Cont.-in-part of U. S. Ser. No.
 256,062, abandoned.
 CODEN: USXXAM

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6500853	B1	20021231	US 2000-686616	20001011
PRIORITY APPLN. INFO.:			US 1998-113674P	19980228
			US 1999-256062	19990224

GI



AB Title compds. I [wherein R1 and R6 = independently H, halo, CF₃, alkyl, alkylthio, alkoxy, CN, NO₂, NH₂, Ph, OPh, SPh, CH₂Ph, OCH₂Ph, SCH₂Ph, or (un)substituted amido, carbamido, sulfonyl, etc.; R2 = H, halo, CF₃, OH, alkyl, alkoxy, CHO, CN, NO₂, (un)substituted amino, or alkylsulfonyl; R3 = CO₂H, OPO₃H₂, SO₃H, etc.; R4 = H, CF₃, alkyl, alkoxy, (alkyl)cycloalkyl, CHO, halo, etc.; R5 = alkyl, alkoxy, (alkyl)cycloalkyl, etc.; and pharmaceutically acceptable salts thereof] were prepared as phospholipase enzyme inhibitors. For example, 5-nitroindole was C3-alkylated (55%) with Me 4-(bromomethyl)-3-methoxybenzoate in dioxane, N-alkylated (57%) with 1-iodopropane in a solution of THF and NaH, and converted to the amine (80%) by hydrogenation using Pt/C. The amine was converted to the carbamate (39%) by addition of cyclopentyl chloroformate in CH₂Cl₂ and 4-methylmorpholine, and the resultant ester was hydrolyzed to yield II (71%). The latter inhibited cytosolic phospholipase A2 (cPLA₂) by 50% at a concentration of 170 μM in a coumarin assay and reduced footpad volume by 16.61% at a dose of 5 mg/Kg IV in a carrageenan-induced footpad

edema test on rats. Thus, I are useful for treatment of inflammatory conditions, such as arthritis, inflammatory bowel disease, and asthma (no data).

REFERENCE COUNT: 83 THERE ARE 83 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 134:353307 MARPAT

TITLE: Preparation of 2-(benzylthio)benzimidazoles and analogs as anti-Helicobacter pylori agents

INVENTOR(S): Abedi, Joseph; Carcanague, Daniel; Kuehler, Thomas; Shue, Youe-Kong; Wuonola, Mark

PATENT ASSIGNEE(S): Pharmacia AB, Swed.

SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2

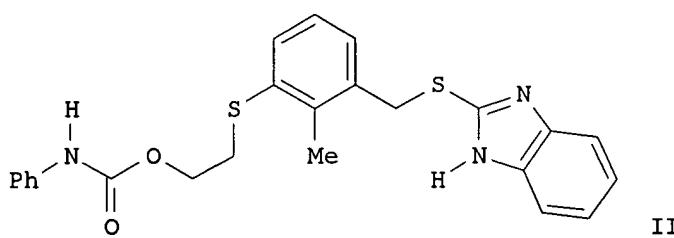
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001034573	A1	20010517	WO 2000-SE2192	20001108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.: GI			SE 1999-4044	19991109



AB R1Z1Z(CH₂)_nSR2 [I; R1 = (oxa)alkyl, RNHCO₂CH₂CH₂, R₃R₄NCH₂CH₂, R₅NHC(=O)CH₂, etc.; R = alkyl, (hetero)aryl(alkyl), etc.; R₂ = e.g., 2-benzimidazolyl; R₃ = H and R₄ = H, (hetero)aryl, etc.; NR₃R₄ = heterocyclyl; R₅ = H, (cyclo)alkyl, (hetero)arylalkyl, etc.; Z = substituted 1,3-phenylene; Z₁ = O, S, (alkyl)imino, etc.; n = 0-5] were prepared. Thus, 2,3-Me(H₂N)C₆H₃CO₂H was converted in 3 steps to Me 3-chloromethyl-2-methylphenylthioacetate which was thioetherified by 2-mercaptopbenzimidazole and the reduced product carbamylated by PhNCO to give title compound II. Data for biol. activity of I were given.

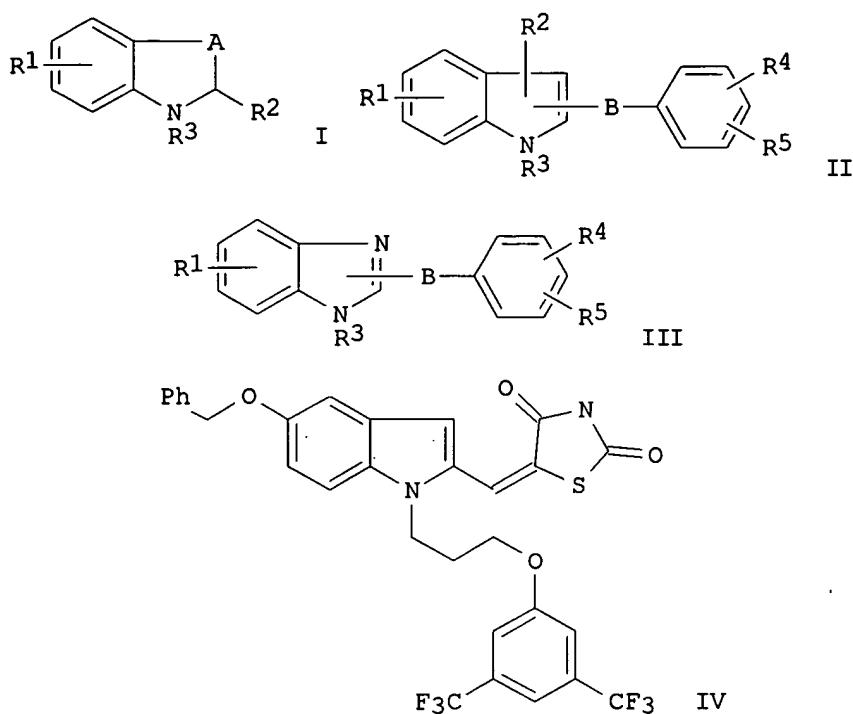
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L11 ANSWER 16 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 131:199620 MARPAT
 TITLE: Preparation of indole derivatives as phospholipase
 enzyme inhibitors
 INVENTOR(S): Seehra, Jasbir S.; Xiang, Yibin; Bemis, Jean;
 McKew, John; Kaila, Neelu; Chen, Lihren
 PATENT ASSIGNEE(S): Genetics Institute, Inc., USA
 SOURCE: PCT Int. Appl., 225 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943672	A1	19990902	WO 1999-US3388	19990217
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2322163	AA	19990902	CA 1999-2322163	19990217
AU 9932970	A1	19990915	AU 1999-32970	19990217
BR 9909242	A	20001114	BR 1999-9242	19990217
TR 200002445	T2	20001221	TR 2000-20000244519990217	
EP 1062216	A1	20001227	EP 1999-936073	19990217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002504551	T2	20020212	JP 2000-533428	19990217
EE 200000522	A	20020215	EE 2000-522	19990217
HR 2000000513	A1	20011231	HR 2000-513	20000731
NO 2000004217	A	20001023	NO 2000-4217	20000823
BG 104781	A	20011031	BG 2000-104781	20000919
PRIORITY APPLN. INFO.:				
		US 1998-30102	19980225	
		WO 1999-IS3388	19990217	
		WO 1999-US3388	19990217	

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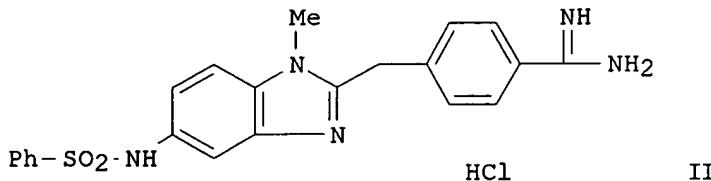
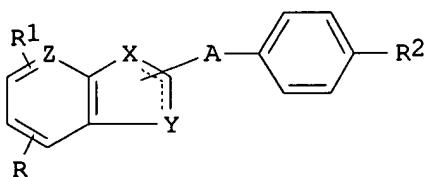
AB Indole derivs. (I), (II), and (III) [where A = CH₂ or CH₂CH₂; B = (CH₂)_n, (CH₂O)_n, (CH₂S)_n, (OCH₂)_n, (SCH₂)_n, (CH=CH)_n, (C.tplbond.C)_n, CON(R₆), N(R₆)CO, O, S, or N(R₆); R₁ and R₅ = independently H, OH, halogen, CN, NO₂, C₁-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl, etc.; R₂ and R₃ = independently H, CO₂H, COR₅, CONR₅R₆, (CH₂)_nW(CH₂)_mZR₅, (CH₂)_nWR₅, ZR₅, C₁-10 alkyl, alkenyl, or substituted aryl; R₄ = H, OH, OR₆, SR₆, CN, COR₆, NHR₆, CO₂H, COR₆R₇, NO₂, (un)substituted sulfamidocarbonyl, C₁-5 alkyl, alkenyl, or substituted aryl; R₆, R₇ = H, C₁-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl; W = O, S, CH₂, CH=CH, C.tplbond.C, or N(R₆); X = O, S, N(R₆); Z = CH₂, O, S, N(R₆), CO, CON(R₆), N(R₆)CO; m and n = independently 0-4] and pharmaceutically acceptable salts thereof, were prepared. Thus, 2,4-thiazolidinedione and K₂CO₃ followed by NaOH were added to 5-(benzyloxy)-1-(4-[3,5-bis(trifluoromethyl)phenoxy]methyl)benzyl)-1H-indole-2-carboxaldehyde in EtOH to form the 2,4-thiazolidinedion-4-ylidene derivative. The ylidene was dissolved in a solution of DMF and NaH, reacted with an alkyl ester of 4-(bromomethyl)benzoic acid, and deesterified with HF to yield the acid, (E)-(IV). The title compds. are useful as phospholipase enzyme inhibitors, especially cytosolic phospholipase A₂ (cPLA₂), for treatment of inflammatory conditions, particularly where inhibition of production of prostaglandins, leukotrienes, and PAF are all desired. Eighty-seven compds. of the invention were tested for phospholipase enzyme inhibiting activity in the LysoPC and/or Coumarine assay. IC₅₀ values ranged from 0.081 μM to >50 μM for the LysoPC assay and from 2.5 μM to >64 μM for the Coumarine assay. Selected compds. were tested for in vivo activity in the carrageenan-induced rat paw edema test, and showed 4.2% to 34.2% inhibition. Forty-eight compds. of the invention were tested for cPLA₂ enzyme activity, and exhibited 25% to 95% inhibition at concns. of 3 μM to 100 μM.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 131:157771 MARPAT
 TITLE: Preparation of five-membered, benzo-condensed heterocycles as antithrombotics
 INVENTOR(S): Ries, Uwe; Hauel, Norbert; Mihm, Gerhard; Priepeke, Henning; Binder, Klaus; Stassen, Jean Marie; Wienen, Wolfgang; Zimmermann, Rainer
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Kg, Germany
 SOURCE: PCT Int. Appl., 250 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940072	A1	19990812	WO 1999-EP537	19990128
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19804085	A1	19990805	DE 1998-19804085	19980203
DE 19834325	A1	20000217	DE 1998-19834325	19980730
CA 2319494	AA	19990812	CA 1999-2319494	19990128
AU 9927201	A1	19990823	AU 1999-27201	19990128
EP 1060166	A1	20001220	EP 1999-907437	19990128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002502844	T2	20020129	JP 2000-530502	19990128
PRIORITY APPLN. INFO.:			DE 1998-19804085	19980203
			DE 1998-19834325	19980730
			WO 1999-EP537	19990128

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AB Title compds. [I; R = 5-C₆H₅SO₂NH, 6-C₆H₅SO₂NH, 5-C₆H₅NHSO₂, 5-C₆H₅SO₂N(CH₂COOEt), 5-C₆H₅SO₂N(CH₃), 5-C₆H₅(CH₂CH₂CH₂COOEt)CO, 5-C₆H₅, CH₃N(C₆H₅)CO, 8; R₁ = H, 7-CH₃, 3-Br, 3-EtO; R₂ = C(:NH)NH₂; A = CH₂, NH; X = CH, MeN, EtOCOCH₂CH₂N, O, S, NCH₂CO₂H; Y = N, CH, CH:CH; Z = CH, N; dotted bond = single, double in relation to X; A is attached at 2, or 8 position depending on the heterocyclic ring] and their tautomers, stereoisomers, mixts. and their physiol. compatible salts with inorg. or organic acids or bases are prepared and title compds in which R₂ is a cyano group, present valuable intermediate products for the production of the remaining compds. of the general formula I, with R₂ is amidino, which have valuable pharmacol. properties, especially an antithrombotic activity. Thus, the title compound II was prepared

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 131:157761 MARPAT

TITLE: 5-Membered heterocyclic condensed benzo derivatives, their preparation, and their use as drugs

INVENTOR(S): Ries, Uwe; Hauel, Norbert; Mihm, Gerhard; Priepke, Henning; Binder, Klaus; Stassen, Jean Marie; Wienen, Wolfgang; Zimmermann, Rainer

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 94 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19804085	A1	19990805	DE 1998-19804085	19980203
CA 2319494	AA	19990812	CA 1999-2319494	19990128
WO 9940072	A1	19990812	WO 1999-EP537	19990128
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,				

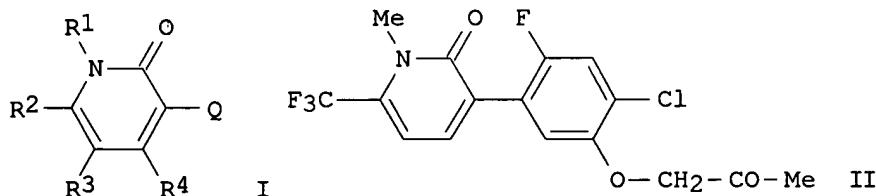
IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD,
 MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
 SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 AU 9927201 A1 19990823 AU 1999-27201 19990128
 EP 1060166 A1 20001220 EP 1999-907437 19990128
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
 PT, IE, SI, LT, LV, FI, RO
 JP 2002502844 T2 20020129 JP 2000-530502 19990128
 US 6114532 A 20000905 US 1999-243200 19990202
 DE 1998-19804085 19980203
 US 1998-77694P 19980312
 DE 1998-19834325 19980730
 WO 1999-EP537 19990128
PRIORITY APPLN. INFO.:
 AB Approx. 300 antithrombotic title compds. such as 4-[5-[N-(8-
 quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-benzimidazol-2-
 ylmethyl]benzamide hydrochloride (I), 4-[5-[N-(benzenesulfonyl)-N-[2-
 (dimethylamino)ethyl]amino]-1-benzyl-1H-benzimidazol-2-
 ylmethyl]benzamide dihydrochloride, 4-[5-[N-(3-carboxypropionyl)-N-
 (cyclopentyl)amino]-1-methyl-1H-benzimidazol-2-ylmethyl]benzamide
 hydrochloride (II), and 4-[5-[N-(8-quinolylsulfonyl)-N-
 (carboxymethyl)amino]-1-methyl-1H-benzothiazol-2-ylmethyl]benzamide
 hydrochloride were prepared by standard methods. The ED200 in μM for I
 was 0.92 and for II was 0.82. Formulations for the antithrombotics
 were given.

L11 ANSWER 19 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 131:44738 MARPAT
 TITLE: Preparation of pyridones as herbicides
 INVENTOR(S): Yamaguchi, Mikio; Ito, Yoshihiro; Shibayama,
 Atsushi; Yamaji, Mitsuhiro; Hanai, ryo; Uotsu,
 Sota; Sadohara, Hideo
 PATENT ASSIGNEE(S): Kumiai Chemical Industry Co., Ltd., Japan; Ihara
 Chemical Industry Co., Ltd.
 SOURCE: Jpn. Kokai Tokkyo Koho, 117 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11140054	A2	19990525	JP 1998-219658	19980717
PRIORITY APPLN. INFO.:			JP 1997-220218	19970731

GI

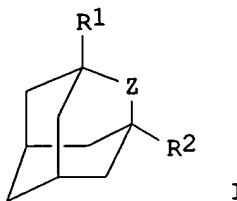


AB The title compds. I [R1 = H, alkyl, etc.; R2 = haloalkyl, etc.; R3, R4 = H, alkyl, etc.; Q = (un)substituted Ph, etc.] are prepared. The title compound II (at 10 g/area) gave ≥ 90% control of Amaranthus retroflexus.

L11 ANSWER 20 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 131:44659 MARPAT
 TITLE: Preparation of N-aryl-1-adamantaneacetamides and analogs as purinergic P2Z receptor antagonists
 INVENTOR(S): Baxter, Andrew; Brough, Stephen; Mcinally, Thomas;
 Mortimore, Michael; Cladingboel, David
 PATENT ASSIGNEE(S): Astra Pharmaceuticals Ltd., UK; Astra Aktiebolag
 SOURCE: PCT Int. Appl., 71 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929660	A1	19990617	WO 1998-SE2189	19981201
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2312889	AA	19990617	CA 1998-2312889	19981201
AU 9917914	A1	19990628	AU 1999-17914	19981201
AU 746716	B2	20020502		
EP 1036058	A1	20000920	EP 1998-962752	19981201
EP 1036058	B1	20030312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9813368	A	20001003	BR 1998-13368	19981201
TR 200001558	T2	20001023	TR 2000-20000155819981201	
EE 200000320	A	20010815	EE 2000-20000032019981201	
JP 2001525391	T2	20011211	JP 2000-524257	19981201
RU 2197447	C2	20030127	RU 2000-117580	19981201
AT 234274	E	20030315	AT 1998-962752	19981201
PT 1036058	T	20030731	PT 1998-962752	19981201
NZ 504375	A	20030829	NZ 1998-504375	19981201
ES 2195433	T3	20031201	ES 1998-962752	19981201
US 6242470	B1	20010605	US 1999-230511	19990126
NO 2000002785	A	20000801	NO 2000-2785	20000531
HK 1028594	A1	20030905	HK 2000-107989	20001212
PRIORITY APPLN. INFO.:			SE 1997-4545	19971205
			WO 1998-SE2189	19981201

GI



AB Title compds. [I; R1 = Z1CONHR; R = (un)substituted Ph, -benzothiazolyl, -indolyl, -pyridyl, etc.; R2 = H or halo; Z = CH₂ or O; Z1 = CH₂, CH₂CH₂, OCH₂, NHCH₂] were prepared. Thus, 1-adamantaneacetyl chloride was amidated by 6-amino-2-methylbenzothiazole to give I (R1 = CH₂CONHR, R = 2-methyl-6-benzothiazolyl, R2 = H, Z = CH₂). Data for biol. activity of I were given.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 128:3699 MARPAT

TITLE: Preparation of indolyl-substituted uracil derivatives as herbicides

INVENTOR(S): Takehi, Takayoshi; Miyazaki, Masahiro; Tamaru, Masatoshi; Yamaji, Yoshihiro; Hanai, Ryo; Uotsu, Sota; Sadohara, Hideo

PATENT ASSIGNEE(S): Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

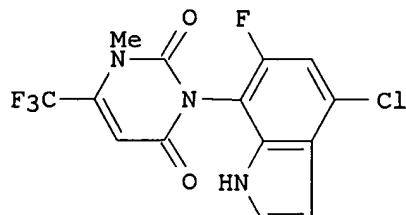
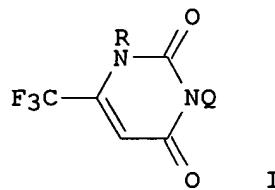
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9742188	A1	19971113	WO 1997-JP1535	19970507
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9726512	A1	19971126	AU 1997-26512	19970507
JP 10053584	A2	19980224	JP 1997-132817	19970507
PRIORITY APPLN. INFO.:			JP 1996-137501	19960508
			WO 1997-JP1535	19970507

GI



AB The title compds. I [R = alkyl, etc.; Q = indolyl (2 generic structures given)] are prepared. The title compound II (at 10 g) gave ≥ 90% control of Echinochloa oryzicola, Monochoria vaginalis, and Scirpus juncoides.

L11 ANSWER 22 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 125:275859 MARPAT

TITLE: Preparation of indolylthiazolidinediones and analogs as antidiabetics

INVENTOR(S): Ohara, Yoshio; Suzuki, Mikio; Ohdoi, Keisuke; Miyachi, Nobuhide; Kato, Katsuhiro; Kobayashi, Tetsuya; Shikada, Ken-ichi; Kitahara, Masaki; Naito, Takeshi; et al.

PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 280 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

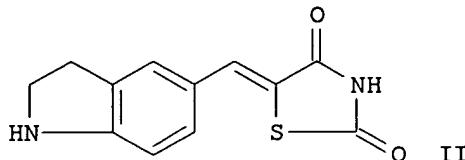
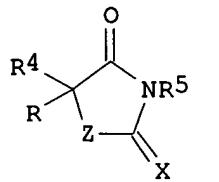
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9626207	A1	19960829	WO 1996-JP403	19960222
W: AU, CA, CN, CZ, FI, HU, KR, LT, LV, MX, NO, NZ, RO, RU, SI, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9647311	A1	19960911	AU 1996-47311	19960222
JP 09235284	A2	19970909	JP 1996-34492	19960222
ZA 9601478	A	19960828	ZA 1996-1478	19960223
PRIORITY APPLN. INFO.:			JP 1995-34963	19950223
			JP 1995-336391	19951225
			WO 1996-JP403	19960222

GI



AB Title compds. [I; R = R₁CR₆R₇; R₁ = (un)substituted indolyl; R₄ = H or alkyl; R₅ = H or CH₂CO₂H; R₆,R₇ = H, (cyclo)alkyl; R₄R₇ = bond; X = O, S, NH; Z = O or S] were prepared as hypoglycemics and aldose reductase inhibitors. Thus, 5- formylindole (preparation given) was condensed with thiazolidine-2,4-dione to give title compound II. Data for in vivo biol. activity of I were given.

L11 ANSWER 23 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 125:195654 MARPAT

TITLE: Preparation of (azolylphenoxy)alkyl aryl or heterocyclyl sulfone derivatives having aldose reductase-inhibitory activity as hypolipidemics, hypoglycemics, and antiobesity agents

INVENTOR(S): Yanagisawa, Hiroaki; Fujita, Takeshi; Fujimoto, Koichi; Wada, Kunio; Oguchi, Minoru; Yoshioka, Takao; Fujiwara, Toshihiko; Horikoshi, Hiroyoshi

PATENT ASSIGNEE(S): Sankyo Co, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 30 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

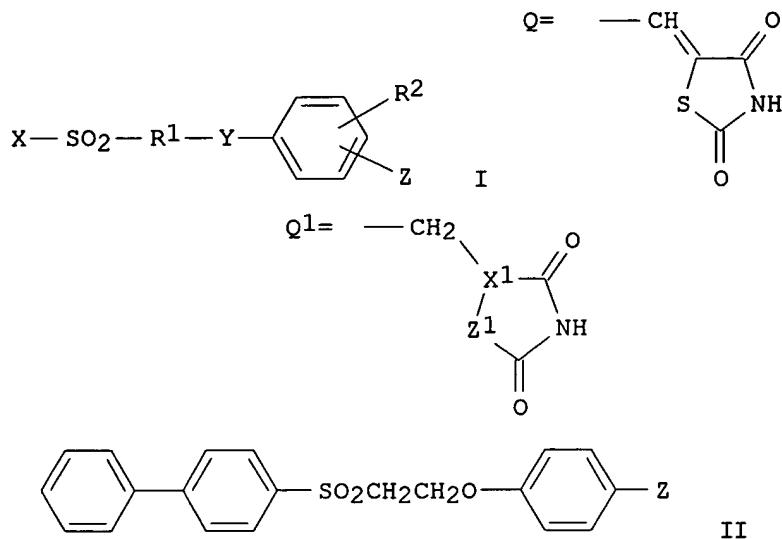
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08157461	A2	19960618	JP 1994-303810	19941207
PRIORITY APPLN. INFO.:			JP 1994-303810	19941207

GI



AB The title compds. (I; R1 = C1-6 alkylene; R2 = H, C1-6 alkyl, C1-4 alkoxy or alkylthio, halo, NO2, NH2, C1-4 alkylamino, di(C1-4 alkyl)amino, or C6-10 aryl, heterocyclyl, or C7-11 aralkyl each optionally having 1-3 substituents; X = C6-10 aryl or heterocyclyl optionally having 1-3 substituents; Y = O, S, NR3; wherein R3 = H, C1-6 alkyl, C1-8 acyl; Z = Q, Q1; wherein X1 = C and Z1 = O or S; or X1 = N and Z = O), which are useful for improving hyperlipidemia, hyperglycemia, obesity, impaired glucose tolerance, insulin resistance, and diabetes complications, and thereby treating or preventing impaired glucose tolerance-caused diseases such as hypertension, osteoporosis, and cachexia and diabetes complications such as retinopathy, kidney disease, nerve diseases, cataract, and arteriosclerosis, are prepared. Thus, 19 g 4-[2-(4-biphenylylsulfonyl)ethoxy]benzaldehyde and 2,4-thiazolidinedione were suspended in ethanol, treated with 2 mL piperidine, and refluxed for 16 h to give 23.6 g thiazolidinedione derivative (II; Z = Q), which (10 g) as hydrogenated in the presence of 5% Pd-C in AcOH at 90° for 20 h to give 2.93 g [(biphenylylsulfonylethoxy)benzyl]thiazolidinedione II (Z = Q1; wherein X1 = C, Z1 = S).

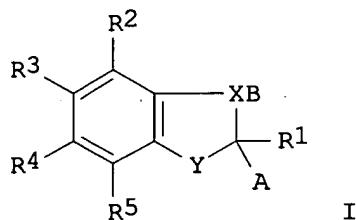
L11 ANSWER 24 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 123:256510 MARPAT
 TITLE: Preparation of indolylcarbonylguanidines,
 benzofurylcarbonylguanidines,
 benzothienylcarbonylguanidines,
 benzimidazolylcarbonylguanidines, and related
 compounds as drugs and diagnostic agents.
 INVENTOR(S): Lang, Hans Jochen; Weichert, Andreas; Schwark, Jan
 Robert; Scholz, Wolfgang; Albus, Udo; Crause,
 Peter
 PATENT ASSIGNEE(S): Hoechst A.-G., Germany
 SOURCE: Eur. Pat. Appl., 36 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 639573	A1	19950222	EP 1994-111765	19940728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4326005	A1	19950209	DE 1993-4326005	19930803
DE 4414316	A1	19951026	DE 1994-4414316	19940425
PRIORITY APPLN. INFO.:			DE 1993-4326005	19930803
			DE 1994-4414316	19940425

GI



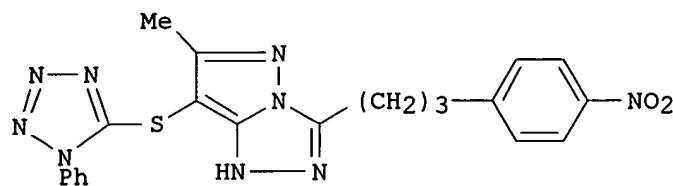
AB Title compds. [I; X = N, CR6; Y = O, S, NR7; A, B = H; AB = bond; 1 of R1-R6 = CON:C(NH2)2, the other of R1-R6 = H, F, Cl, Br, iodo, alkyl, ≤ 2 of R1-R6 = cyano, NO2, N3, alkoxy, CF3, etc.; R7 = H, alkyl, alkenyl, etc.], were prepared Thus, 3-chloro-5-fluoro-1-methylindolyl-2-carboxylic acid guanide hydrochloride (synthetic outline given) inhibited rabbit erythrocyte Na+/H+-exchanger with IC50 = 3 + 10-8 M.

L11 ANSWER 25 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 123:85970 MARPAT
 TITLE: Manufacture of thio ethers
 INVENTOR(S): Wright, Charles W.; Potenza, Joan C.; Leary, John E., Jr.; Kim, Chang K.
 PATENT ASSIGNEE(S): Eastman Kodak Co., USA
 SOURCE: U.S., 25 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5405969	A	19950411	US 1993-165765	19931210
EP 657424	A1	19950614	EP 1994-203552	19941206
EP 657424	B1	19980610		
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 07224028	A2	19950822	JP 1994-307752	19941212
PRIORITY APPLN. INFO.:			US 1993-165765	19931210

GI



AB A thioether having the formula ASR is prepared by reaction of AH, where A comprises a C bonded to the H which C is either capable of ionizing to a nucleophilic state or is conjugated to such an atom, with HSR or RSSR, where R is a substituted or unsubstituted aryl or alicyclic group, said group being carbocyclic or heterocyclic, or thiocarbonyl, in the presence of a base and an oxidizing agent that is free of reactive halogen and that is capable of oxidizing HSR to RSSR. The process provides a more efficient, more cost-effective, and more environmentally favorable method for the manufacture of thioether-containing photog. couplers. Environmentally hazardous halogenated wastes which must be disposed of are not generated. As an example, I was prepared from 6-methyl-3-[3-(4-nitrophenyl)propyl]-1H-pyrazolo[5,1-c]-1,2,4-triazole and phenyltetrazolethiol by use of Et₃N and N-methylmorpholine N-oxide.

L11 ANSWER 26 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 122:239545 MARPAT
 TITLE: Preparation of 4-bicyclyldihydropyridines as cardiovascular agents.
 INVENTOR(S): Straub, Alexander; Goldmann, Siegfried;
 Stoltefuss, Juergen; Bechem, Martin; Dembrowsky,
 Klaus; Gross, Rainer; Hebisch, Siegbert; Huetter,
 Joachim; Rounding, Howard-Paul
 PATENT ASSIGNEE(S): Bayer A.-G., Germany
 SOURCE: Eur. Pat. Appl., 95 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 630895	A1	19941228	EP 1994-109019	19940613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4321030	A1	19950105	DE 1993-4321030	19930624
US 5545646	A	19960813	US 1994-261585	19940617
CA 2126397	AA	19941225	CA 1994-2126397	19940621
JP 07033774	A2	19950203	JP 1994-160800	19940621
US 5721248	A	19980224	US 1996-644880	19960510
PRIORITY APPLN. INFO.:			DE 1993-4321030	19930624
			US 1994-261585	19940617

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R1, R4 = H, amino, cyano, formyl, CF₃, (substituted) alkyl; R2 = cyano, carbamoyl, alkoxy carbonyl, etc.; R3 = cyano, NO₂, formyl, (substituted) alkoxy carbonyl, carbamoyl; R3R4 = COECH₂; E = O, S, (CH₂)_n; n = 1,2; R5 = Q1-Q4, etc.; R24 = H, halo, alkyl, alkoxy; R25 = (cyclic) (unsatd.) (O- or S-interrupted) (substituted) hydrocarbyl; L = O, S, NH; V = O, S; X = N, NO], were prepared having Ca agonist/antagonist activity (no data). Thus, Et 5-cyano-1,4-dihydro-2,6-dimethyl-4-(4-oxo-2-phenyl-4H-1-benzothiopyran-8-yl)-3-pyridinecarboxylate was heated with NaBH₄ in Me₃COH/MeOH to give title compound II.

L11 ANSWER 27 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 120:191707 MARPAT
TITLE: 2-Substituted saccharin derivative proteolytic enzyme inhibitors

INVENTOR(S): Hlasta, Dennis John; Desai, Ranjit Chimanlal; Subramanyam, Chakrapani; Lodge, Eric Piatt; Dunlap, Richard Paul; Boaz, Neil Warren; Mura, Albert Joseph; Latimer, Lee Hamilton

PATENT ASSIGNEE(S): Sterling Winthrop Inc., USA

SOURCE: Eur. Pat. Appl., 77 pp.
CODEN: EPXXDW

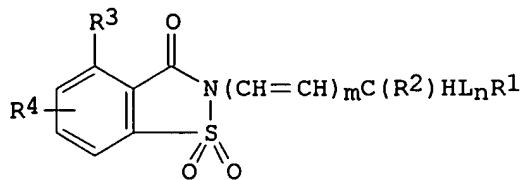
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 542372	A1	19930519	EP 1992-203469	19921112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5236917	A	19930817	US 1991-793033	19911115
AU 9225340	A1	19930520	AU 1992-25340	19920925
AU 654581	B2	19941110		
CA 2079822	AA	19930516	CA 1992-2079822	19921005
NO 9204401	A	19930518	NO 1992-4401	19921113
NO 303119	B1	19980602		
HU 66873	A2	19950130	HU 1992-3566	19921113
IL 103748	A1	19970218	IL 1992-103748	19921113
RU 2101281	C1	19980110	RU 1992-4381	19921113
JP 05194444	A2	19930803	JP 1992-305295	19921116
US 5371074	A	19941206	US 1993-67637	19930524
US 5650422	A	19970722	US 1994-270964	19940705
US 5596012	A	19970121	US 1995-449152	19950524
US 5874432	A	19990223	US 1997-803297	19970220
PRIORITY APPLN. INFO.:			US 1991-793033	19911115
			US 1989-347125	19890504
			US 1989-347126	19890504
			US 1990-514920	19900426
			US 1993-67637	19930524
			US 1994-270964	19940705

GI



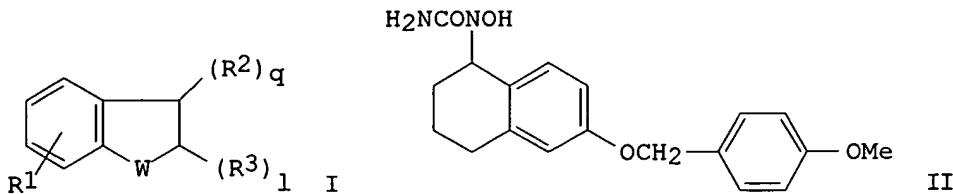
AB The title compds. I [L = O, S, SO, SO₂; R1 = (un)substituted Ph, (un)substituted heterocyclyl, etc.; R2 = H, lower alkoxy carbonyl, Ph, PhS; R3 = H, halogen, (un)substituted alkyl, Ph, lower alkoxy, lower alkoxy carbonyl, CN, etc.; R4 = H or 1-3 substituents selected from halogen, CN, NO₂, NH₂, etc.; m, n = 0, 1; when m = 0 then R1 can only be heterocyclyl and CHR2 can only be bonded to a ring N of R1; when m = 0, n = 1 and L is O, S, or SO, then R2-R4 = H; when m = 0, n = 1, L is S, R2, R4 = H and R3 = halogen; when m = 0, n = 1, and L is SO or SO₂ then R2 is lower alkoxy carbonyl and R3 = R4 = H while R1 ≠ substituted Ph], useful for the treatment of degenerative diseases (no data), are prepared. Thus, 2-hydroxymethyl-4-chlorosaccharin was reacted with thionyl chloride, producing 2-chloromethyl-4-chlorosaccharin (II). II demonstrated inhibition constant for human leukocyte elastase (rate of reactivation of enzyme to rate of inactivation of enzyme) of 0.5 nM and 26 nM for α-chymotrypsin.

L11 ANSWER 28 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 116:255341 MARPAT
 TITLE: Preparation of N-substituted tetrahydronaphthyl-N-hydroxyureas and analogs as 5-lipoxygenase inhibitors
 INVENTOR(S): Adams, Jerry Leroy; Garigipati, Ravi Shanker;
 Griswold, Don Edgar; Schmidt, Stanley James
 PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA
 SOURCE: PCT Int. Appl., 92 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9114674	A2	19911003	WO 1991-US2010	19910325
WO 9114674	A3	19920109		
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2078126	AA	19910928	CA 1991-2078126	19910325
AU 9175875	A1	19911021	AU 1991-75875	19910325
AU 660277	B2	19950622		
EP 522000	A1	19930113	EP 1991-907085	19910325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05505610	T2	19930819	JP 1991-506661	19910325
ZA 9102264	A	19920429	ZA 1991-2264	19910326
PRIORITY APPLN. INFO.:			US 1990-500153	19900327
			US 1990-500179	19900327
			WO 1991-US2010	19910325

GI



AB Title compds. I ($R_1 = H$, C1-10 alkyl, C1-10 alkoxy, etc.; R_2 , $R_3 = R_4C:BN(OR_a)$, $R_4 = (\text{halo})(\text{hydroxy})$ C1-6 alkyl, C2-6 alkenyl, (halo)heteroaryl, C1-6 alkoxy, R_5R_6N wherein $R_5 = H$, alkyl, $R_6 = C_1-6$ alkyl, aryl, PhCH_2 , etc.; $B = O$, S , $R_a = H$, cation, aroyl, C1-12 alkoyl; $W = \text{CH}_2(\text{CH}_2)_s$, $O(\text{CH}_2)_s$, $S(\text{CH}_2)_s$, $NR_7(\text{CH}_2)_s$, $s = 0-3$, $R_7 = H$, C_1-4 alkyl, Ph, C1-6 alkoyl, aroyl; $l = q = 0, 1$) or a salt thereof, are prepared I are also analgesics. To 6-hydroxy-1-tetralone was added NaH , followed by $4-(\text{MeO})\text{C}_6\text{H}_4\text{CH}_2\text{Cl}$ and the mixture was heated to 90° for 1 h to give the tetralone derivs. To this in pyridine was added $\text{HONH}_2\cdot\text{HCl}$ to give the oxime, which was treated with BH_3 -pyridine and converted to the N-hydroxyamine derivative to which was added Me_3SiNCO to give after work up the title compound II. II inhibited 5-lipoxygenase with IC_{50} of $0.5 \mu\text{M}$ and an analgesic activity ED_{50} of 10 mg/kg .

L11 ANSWER 29 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 116:66934 MARPAT

TITLE: Cosmetics containing oximes for skin protection from UV irradiation

INVENTOR(S): Bush, Rodney Dean; Bissett, Donald Lynn;
Chatterjee, Ranjit

PATENT ASSIGNEE(S): Procter and Gamble Co., USA

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Engl

FAMILY ACC. NUM. CO

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9116034	A1	19911031	WO 1991-US2398	19910409
W: AU, CA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2079485	AA	19911027	CA 1991-2079485	19910409
CA 2079485	C	19990511		
AU 9177565	A1	19911111	AU 1991-77565	19910409
AU 662101	B2	19950824		
EP 611301	A1	19940824	EP 1991-908813	19910409
EP 611301	B1	20030611		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 242625	E	20030615	AT 1991-908813	19910409
ES 2199214	T3	20040216	ES 1991-908813	19910409
US 5364617	A	19941115	US 1992-973597	19921109
PRIORITY APPLN. INFO.:				
			US 1990-514998	19900426
			US 1991-657847	19910225

10/663335

WO 1991-US2398 19910409

AB Photoprotective compns. which are useful for topical application to prevent sunburn and sun-induced premature aging of the skin caused by acute or chronic exposure to UV light, comprise chelating agents, R1(NR4)nCMC(:NOR3)R2 (R1, R2 = alkyl, aryl, heteroaryl, R1R2 = cyclic alkyl; R3, R4 = H, alkyl, aryl, heteroaryl; M = :O, :S, etc.; n = 0, 1). A moisturizing lotion containing 2.00 % di-2-furyl ethanedione-syn-monooxime was prepared

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L12 95 S "MADERA A"?/AU
L13 89 S "WEIKERT R"?/AU
L14 17 S L12 AND L13

L18 15 S (L12 OR L13) AND ?INDOLE
L19 28 S L14 OR L18
L20 19 DUP REM L19 (9 DUPLICATES REMOVED)

L20 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2005:1313863 CAPLUS
DOCUMENT NUMBER: 144:51448
TITLE: Preparation of 3-amino-1-arylpropylindoles as monoamine reuptake inhibitors for depression
INVENTOR(S): Greenhouse, Robert; Jaime-Figueroa, Saul; Raptova, Lubica; Reuter, Deborah Carol; Stein, Karin Ann; Weikert, Robert James
PATENT ASSIGNEE(S): F.Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

- Author(s)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005118539	A1	20051215	WO 2005-EP5734	20050527
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN,			

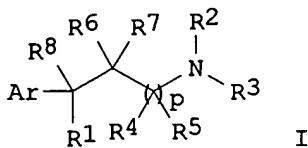
Searcher : Shears 571-272-2528

MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU,
 SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA,
 UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,
 DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC,
 NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,
 GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2006025467 A1 20060202 US 2005-142076 20050601
 PRIORITY APPLN. INFO.: US 2004-576044P P 20040601

OTHER SOURCE(S): MARPAT 144:51448
 GI



AB Title compds. I [p = 1-2; Ar = (un)saturated (un)saturated indolyl, benzimidazolyl, etc.; R1 = Ph, naphthyl, etc.; R2-3 = H, alkyl, hydroxalkyl, etc.; R6 = H, alkyl, etc.; R7 = H, alkyl, OH, alkoxy, hydroxalkyl, etc.; R4-5 = H, alkyl, etc.] are prepared For instance, [3-(1H-indol-3-yl)-3-phenylpropyl]methylamine (II) is prepared in 3 steps from indole, Meldrum's acid and benzaldehyde. II has a pKi = 8.45 for the human serotonin reuptake transporter. I are useful for the treatment of depression and anxiety.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2006:133100 BIOSIS
 DOCUMENT NUMBER: PREV200600142764
 TITLE: 4-piperidinyl alkyl amine derivatives as muscarinic receptor antagonists.

AUTHOR(S): Brotherton-Pleiss, Christine E. [Inventor];
 Madera, Ann Marie [Inventor]; Weikert, Robert James [Inventor]

CORPORATE SOURCE: Sunnyvale, CA USA

ASSIGNEE: Syntex (U.S.A.) LLC

PATENT INFORMATION: US 06864266 20050308

SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (MAR 8 2005)
 CODEN: OGUPE7. ISSN: 0098-1133.

DOCUMENT TYPE: Patent

LANGUAGE: English

ENTRY DATE: Entered STN: 22 Feb 2006

Last Updated on STN: 22 Feb 2006

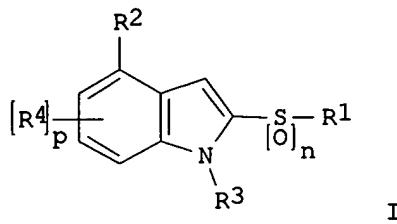
AB This invention relates to the (R)-isomers of compounds which are generally muscarinic receptor antagonists and which are represented by Formula I: wherein p, R-1, R-2, R(3) and A are as defined in the specification, or individual isomers, racemic or non-racemic mixtures of isomers, or acceptable salts or solvates thereof. The invention

further relates to pharmaceutical compositions containing such compounds and methods for their use and preparation as therapeutic drugs.

L20 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2
 ACCESSION NUMBER: 2004:267300 CAPLUS
 DOCUMENT NUMBER: 140:303525
 TITLE: Preparation of 2,4-substituted indoles as 5-HT6 modulators
 INVENTOR(S): Madera, Ann Marie; Weikert, Robert James
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
 SOURCE: PCT Int. Appl., 38 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026831	A1	20040401	WO 2003-EP9969	20030908
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2498946	AA	20040401	CA 2003-2498946	20030908
AU 2003267063	A1	20040408	AU 2003-267063	20030908
EP 1542973	A1	20050622	EP 2003-747986	20030908
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014363	A	20050719	BR 2003-14363	20030908
JP 2006502177	T2	20060119	JP 2004-537019	20030908
US 2004072844	A1	20040415	US 2003-663335	20030916
NO 2005000664	A	20050415	NO 2005-664	20050208
PRIORITY APPLN. INFO.:			US 2002-411480P	P 20020917
			WO 2003-EP9969	W 20030908

OTHER SOURCE(S): MARPAT 140:303525
 GI



AB The title compds. [I; n = 0-2; p = 1-2; R1 = (un)substituted (hetero)aryl; R2 = (un)substituted heterocyclyl; R3 = H, alkyl, COR5 (wherein R5 = alkyl, alkoxy, aryl, aryloxy); R4 = H, OH, CN, alkyl, etc.], useful for treating or preventing a disease state that is alleviated by 5-HT6 agonists, were prepared E.g., a 3-step synthesis of I [n = 2; R1 = 2-FC6H4; R2 = piperazino; R3, R4 = H], was given. The compds. I were tested and found to have selective 5-HT6 receptor affinity. Activities for representative compds. I were given. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:267299 CAPLUS

DOCUMENT NUMBER: 140:303524

TITLE: Preparation of 2,7-substituted indoles as 5-HT6 modulators

INVENTOR(S): Madera, Ann Marie; Weikert, Robert James

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

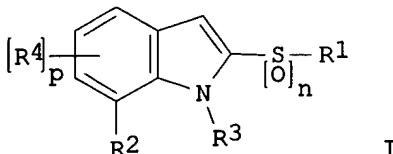
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026830	A1	20040401	WO 2003-EP10101	20030911
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2496765	AA	20040401	CA 2003-2496765	20030911
AU 2003273855	A1	20040408	AU 2003-273855	20030911
BR 2003014352	A	20050719	BR 2003-14352	20030911
EP 1587788	A1	20051026	EP 2003-757820	20030911

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
 PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 JP 2006503052 T2 20060126 JP 2004-537044 20030911
 US 2004063724 A1 20040401 US 2003-663314 20030916
 NO 2005000666 A 20050311 NO 2005-666 20050208
 PRIORITY APPLN. INFO.: US 2002-411239P P 20020917

WO 2003-EP10101 W 20030911

OTHER SOURCE(S): MARPAT 140:303524
 GI



AB The title compds. [I; n = 0-2; p = 1-2; R1 = (un)substituted (hetero)aryl; R2 = (un)substituted heterocyclyl; R3 = H, alkyl, COR5 (wherein R5 = alkyl, alkoxy, aryl, aryloxy); R4 = H, OH, CN, alkyl, etc.], useful for treating or preventing a disease state that is alleviated by 5-HT6 agonists, were prepared E.g., a 5-step synthesis of I [n = 2; R1 = Ph; R2 = piperazino; R3 = H; R4 = H], was given. The compds. I were tested and found to have selective 5-HT6 receptor affinity. Activities for representative compds. I were given. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2005:1810 BIOSIS

DOCUMENT NUMBER: PREV200500011583

TITLE: Benzocycloalkylenylamine derivatives as muscarinic receptor antagonists.

AUTHOR(S): Weikert, Robert James [Inventor, Reprint Author]; Madera, Ann Marie [Inventor]; Stabler, Russell Stephen [Inventor]

CORPORATE SOURCE: ASSIGNEE: Syntex (U.S.A.) LLC

PATENT INFORMATION: US 6818645 20041116

SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Nov 16 2004) Vol. 1288, No. 3. <http://www.uspto.gov/web/menu/patdata.html>. e-file. ISSN: 0098-1133 (ISSN print).

DOCUMENT TYPE: Patent

LANGUAGE: English

ENTRY DATE: Entered STN: 16 Dec 2004

Last Updated on STN: 16 Dec 2004

AB This invention relates to compounds which are generally muscarinic M2/M3 receptor antagonists and which are represented by Formula I:
 ##STR1## wherein X, Y, and Z are O, S, or NR4, and the other substituents are as defined in the specification; and prodrugs, individual isomers, racemic or non-racemic mixtures of isomers, and

pharmaceutically acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds and methods for their use as therapeutic agents.

L20 ANSWER 6 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2004:443668 BIOSIS
 DOCUMENT NUMBER: PREV200400448851
 TITLE: Amino-tetralin derivatives as muscarinic receptor antagonists.
 AUTHOR(S): Madera, Ann Marie [Inventor, Reprint Author];
 Weikert, Robert James [Inventor]
 CORPORATE SOURCE: ASSIGNEE: Syntex (U.S.A.) LLC
 PATENT INFORMATION: US 6806278 20041019
 SOURCE: Official Gazette of the United States Patent and
 Trademark Office Patents, (Oct 19 2004) Vol. 1287, No.
 3. <http://www.uspto.gov/web/menu/patdata.html>. e-file.
 ISSN: 0098-1133 (ISSN print).
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 ENTRY DATE: Entered STN: 17 Nov 2004
 Last Updated on STN: 17 Nov 2004
 AB This invention relates to compounds which are generally muscarinic M2/M3 receptor antagonists and which are represented by Formula I:
 ##STR1## wherein R1, R2, R3 and R4 are as defined in the specification, or individual isomers, racemic or non-racemic mixtures of isomers, or acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds and methods for their use and preparation as therapeutic drugs.

L20 ANSWER 7 OF 19 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER: 2004-294422 [27] WPIDS
 DOC. NO. CPI: C2004-112621
 TITLE: New 2,7-substituted indole derivatives useful for treating e.g. psychoses, Alzheimer's disease, Huntington's disease, anxiety, depression, sleep disorders, anorexia and bulimia.
 DERWENT CLASS: B02
 INVENTOR(S): MADERA, A M; WEIKERT, R J
 PATENT ASSIGNEE(S): (HOFF) HOFFMANN LA ROCHE & CO AG F; (HOFF) ROCHE PALO ALTO LLC
 COUNTRY COUNT: 108
 PATENT INFORMATION:

PATENT NO	KIND DATE	WEEK	LA	PG
US 2004063724	A1 20040401 (200427)*		16	
WO 2004026830	A1 20040401 (200431)	EN		
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW				
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG UZ VC VN YU ZA ZM ZW				
AU 2003273855	A1 20040408 (200462)			
NO 2005000666	A 20050311 (200540)			
BR 2003014352	A 20050519 (200549)			

EP 1587788 A1 20051026 (200570) EN
 R: AL AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LI LT LU
 LV MC MK NL PT RO SE SI SK TR
 MX 2005002696 A1 20050501 (200572)
 TW 2004010685 A 20040701 (200580)
 JP 2006503052 W 20060126 (200609) 36
 CN 1681782 A 20051012 (200612)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 2004063724	A1 Provisional	US 2002-411239P US 2003-663314	20020917 20030916
WO 2004026830	A1	WO 2003-EP10101	20030911
AU 2003273855	A1	AU 2003-273855	20030911
NO 2005000666	A	WO 2003-EP10101 NO 2005-666	20030911 20050208
BR 2003014352	A	BR 2003-14352 WO 2003-EP10101	20030911 20030911
EP 1587788	A1	EP 2003-757820 WO 2003-EP10101	20030911 20030911
MX 2005002696	A1	WO 2003-EP10101 MX 2005-2696	20030911 20050310
TW 2004010685	A	TW 2003-125197	20030912
JP 2006503052	W	WO 2003-EP10101 JP 2004-537044	20030911 20030911
CN 1681782	A	CN 2003-821590	20030911

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2003273855	A1 Based on	WO 2004026830
BR 2003014352	A Based on	WO 2004026830
EP 1587788	A1 Based on	WO 2004026830
MX 2005002696	A1 Based on	WO 2004026830
JP 2006503052	W Based on	WO 2004026830

PRIORITY APPLN. INFO: US 2002-411239P 20020917; US
 2003-663314 20030916

AN 2004-294422 [27] WPIDS

AB US2004063724 A UPAB: 20040928

NOVELTY - 2,7-Substituted **indole** derivatives (I) are new.

DETAILED DESCRIPTION - 2,7-Substituted **indole**

derivatives of formula (I) and their salts are new.

n = 0, 1 or 2;

p = 1 or 2;

R1 = (hetero)aryl;

R2 = heterocyclyl;

R3 = H, alkyl, or -C(=O)-R5;

R5 = alkyl, alkoxy, aryl or aryloxy;

R4 = H, hydroxy, cyano, alkoxy, thioalkyl, alkylthio, halo, haloalkyl, (hydroxy)alkyl, nitro, alkoxy carbonyl, alkyl carbonyl, arylsulfonyl, (halo)alkylsulfonyl, amino, (di)alkylamino, alkyl(aryl)amino, alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl(alkylamino), alkylaminosulfonyl, alkylsulfonylamino or methylenedioxy.

An INDEPENDENT CLAIM is included for preparation of (I).

ACTIVITY - CNS-Gen.; Neuroleptic; Antimanic; Antidepressant; Neuroprotective; Nootropic; Tranquilizer; Antiparkinsonian; Anticonvulsant; Gastrointestinal-Gen.; Anorectic; Antimigraine; Hypnotic; Anabolic; Eating-Disorders-Gen.; Antiaddictive; Antismoking; Vulnerary; Cerebroprotective.

MECHANISM OF ACTION - 5-Hydroxytryptamine-6 receptor (5-HT6) antagonist.

The binding affinity of 2-benzenesulfonyl-7-(4-methylpiperazin-1-yl)-1H-indole (A) to human 5-HT6 receptor was determined by an in vitro radioligand binding assay. Cell membranes derived from HEK293 cells stably expressing recombinant human 5-HT6 receptors were incubated with (3H)LSD (5 nM) and (A) in an assay buffer (50 mM Tris-HCl, 10 mM MgSO₄, 0.5 mM EDTA, 1 mM ascorbic acid, pH 7.4) at 37 deg. C for 60 minutes. The cells were harvested and washed; and bound (3H)LSD was measured by Packard Topcount. (A) Showed pKi value of 9.1.

USE - For treating CNS diseases e.g. psychoses, schizophrenia, manic depressions, neurological disorders, memory disorders, attention deficit disorder, Parkinson's disease, amyotrophic lateral sclerosis, Alzheimer's disease and Huntington's disease, gastrointestinal tract disorder, obesity (claimed).

Also for the treatment of anxiety, depression, epilepsy, obsessive compulsive disorders, migraine, sleep disorders, feeding disorders (e.g. anorexia and bulimia), panic attacks, withdrawal from drug abuse (e.g. cocaine, ethanol, nicotine and benzodiazodiazepines), and also disorders associated with spinal trauma and/or head injury such as hydrocephalus.

ADVANTAGE - The compounds are potent and selective 5-HT6 receptor antagonists.

Dwg.0/0

L20 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2003:454291 CAPLUS

DOCUMENT NUMBER: 139:22114

TITLE: Preparation of aminotetralin derivatives as muscarinic receptor antagonists

INVENTOR(S): Madera, Ann Marie; Weikert, Robert James

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

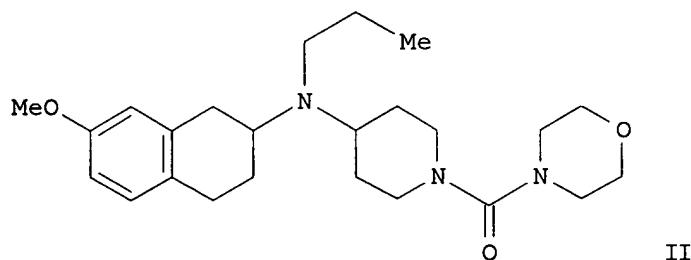
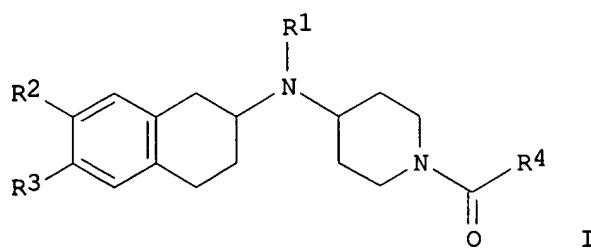
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048125	A1	20030612	WO 2002-EP13219	20021125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2469055	AA	20030612	CA 2002-2469055	20021125

AU 2002352124	A1	20030617	AU 2002-352124	20021125
EP 1453806	A1	20040908	EP 2002-787797	20021125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014649	A	20041103	BR 2002-14649	20021125
JP 2005518368	T2	20050623	JP 2003-549317	20021125
US 2003171362	A1	20030911	US 2002-308092	20021202
US 6635658	B2	20031021		
US 2004092604	A1	20040513	US 2003-608604	20030627
US 6806278	B2	20041019		
PRIORITY APPLN. INFO.:				
US 2001-336675P P 20011203				
WO 2002-EP13219 W 20021125				
US 2002-308092 A1 20021202				

OTHER SOURCE(S): MARPAT 139:22114
GI



AB Title compds. I [] are prepared For instance, 7-methoxy-3,4-dihydro-1H-naphthalen-2-one is alkylated with [1-benzylpiperidin-4-yl]amine (ClCH₂CH₂Cl, NaHB(OAc)₃), the resulting product is alkylated with propionaldehyde (ClCH₂CH₂Cl, NaHB(OAc)₃), debenzylated (EtOH, H₂-Pd(OH)₂) and acylated with morpholine-4-carbonyl chloride (CH₂Cl₂, DIEA) to give II. II has pKi = 8.57 and 8.83 for the muscarinic M₂ and M₃ receptor resp. I are useful for the treatment of smooth muscle disorders and genitourinary diseases.

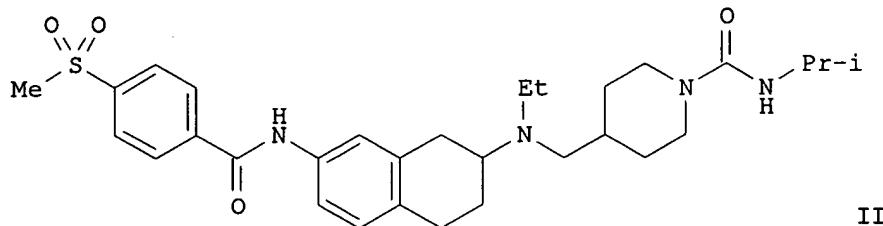
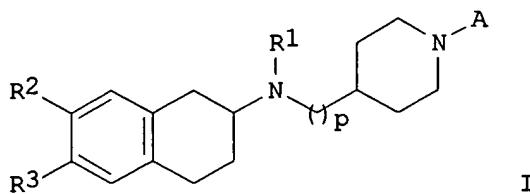
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2003:454290 CAPLUS
 DOCUMENT NUMBER: 139:36440
 TITLE: Preparation of 4-piperidinyl alkylamine derivatives as muscarinic receptor antagonists
 INVENTOR(S): Brotherton-Pleiss, Christine E.; Madera, Ann Marie; Weikert, Robert James
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
 SOURCE: PCT Int. Appl., 86 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048124	A1	20030612	WO 2002-EP13220	20021125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2468691	AA	20030612	CA 2002-2468691	20021125
AU 2002352125	A1	20030617	AU 2002-352125	20021125
EP 1453805	A1	20040908	EP 2002-787798	20021125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014674	A	20041019	BR 2002-14674	20021125
JP 2005517641	T2	20050616	JP 2003-549316	20021125
US 2003162780	A1	20030828	US 2002-308081	20021202
US 6627644	B2	20030930		
US 2004092554	A1	20040513	US 2003-611193	20030701
US 6864266	B2	20050308		
PRIORITY APPLN. INFO.:			US 2001-336795P	P 20011203
			WO 2002-EP13220	W 20021125
			US 2002-308081	A1 20021202

OTHER SOURCE(S): MARPAT 139:36440
 GI



AB Title compds. I [A = acyl, sulfonyl; R1 = alkyl, allyl; R2-3 = H, halo, (hetero)aryl, etc.; p = 1-2] are prepared. For instance, 7-nitro-3,4-dihydro-1H-naphthalen-2-one is used to alkylate 4-(aminomethyl)piperidine-1-carboxylic acid tert-Bu ester (1,2-dichloroethane, NaHB(OAc)3), the product alkylated with acetaldehyde (1,2-dichloroethane, NaHB(OAc)3), reduced (EtOH, H2-Pd/C) to the corresponding aniline, acylated with 4-(methanesulfonyl)benzoyl chloride (EtOAc, K2CO3), deprotected (CH2Cl2, TFA) and treated with isopropylisocyanate (CH2Cl2) to give II. Muscarinic M2/M3 inhibitory activities are determined for selected compds. I are useful for the treatment of genitourinary disorders.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 10 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation
on STN

ACCESSION NUMBER: 2004:7519 BIOSIS

DOCUMENT NUMBER: PREV200400008443

TITLE: Benzocycloalkylenylamine derivatives as muscarinic receptor antagonists.

AUTHOR(S): Weikert, Robert James [Inventor, Reprint Author]; Madera, Ann Marie [Inventor]; Stabler, Russell Stephen [Inventor]

CORPORATE SOURCE: ASSIGNEE: Syntex (U.S.A.) LLC

PATENT INFORMATION: US 6645958 20031111

SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Nov 11 2003) Vol. 1276, No. 2. <http://www.uspto.gov/web/menu/patdata.html>. e-file. ISSN: 0098-1133 (ISSN print).

DOCUMENT TYPE: Patent

LANGUAGE: English

ENTRY DATE: Entered STN: 17 Dec 2003

Last Updated on STN: 17 Dec 2003

AB This invention relates to compounds which are generally muscarinic M2/M3 receptor antagonists and which are represented by Formula I:

##STR1## wherein X, Y, and Z are O, S, or NR4, and the other substituents are as defined in the specification; and prodrugs, individual isomers, racemic or non-racemic mixtures of isomers, and pharmaceutically acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds and methods for their use as therapeutic agents.

L20 ANSWER 11 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2003:496282 BIOSIS
 DOCUMENT NUMBER: PREV200300496489
 TITLE: 4-piperidinyl alkyl amine derivatives as muscarinic receptor antagonists.
 AUTHOR(S): Brotherton-Pleiss, Christine E. [Inventor, Reprint Author]; Madera, Ann Marie [Inventor]; Weikert, Robert James [Inventor]
 CORPORATE SOURCE: Sunnyvale, CA, USA
 ASSIGNEE: Syntex (U.S.A.) LLC
 PATENT INFORMATION: US 6627644 20030930
 SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Sep 30 2003) Vol. 1274, No. 5. <http://www.uspto.gov/web/menu/patdata.html>. e-file.
 ISSN: 0098-1133 (ISSN print).
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 ENTRY DATE: Entered STN: 22 Oct 2003
 Last Updated on STN: 22 Oct 2003
 AB This invention relates to compounds which are generally muscarinic receptor antagonists and which are represented by Formula I: ##STR1## wherein p, R1, R2, R3 and A are as defined in the specification, or individual isomers, racemic or non-racemic mixtures of isomers, or acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds and methods for their use and preparation as therapeutic drugs.

L20 ANSWER 12 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2003:86026 BIOSIS
 DOCUMENT NUMBER: PREV200300086026
 TITLE: Benzocycloalkylenylamine derivatives as muscarinic receptor antagonists.
 AUTHOR(S): Weikert, Robert James [Inventor, Reprint Author]; Madera, Ann Marie [Inventor]; Stabler, Russell Stephen [Inventor]
 CORPORATE SOURCE: Dublin, CA, USA
 ASSIGNEE: Syntex (U.S.A.) LLC
 PATENT INFORMATION: US 6500822 20021231
 SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Dec 31 2002) Vol. 1265, No. 5. <http://www.uspto.gov/web/menu/patdata.html>. e-file.
 ISSN: 0098-1133 (ISSN print).
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 ENTRY DATE: Entered STN: 6 Feb 2003
 Last Updated on STN: 6 Feb 2003
 AB This invention relates to compounds which are generally muscarinic M2/M3 receptor antagonists and which are represented by Formula I: ##STR1## wherein X, Y, and Z are O, S, or NR4, and the other substituents are as defined in the specification; and prodrugs,

individual isomers, racemic or non-racemic mixtures of isomers, and pharmaceutically acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds and methods for their use as therapeutic agents.

L20 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 2001:868428 CAPLUS

DOCUMENT NUMBER: 136:6017

TITLE: Substituted 1-aminoalkyl-lactams and their use as muscarinic receptor antagonists

INVENTOR(S): Madera, Ann Marie; Stabler, Russell Stephen; Weikert, Robert James

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

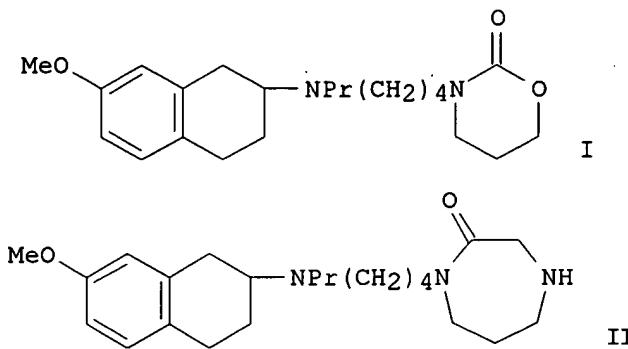
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001090082	A1	20011129	WO 2001-EP5631	20010517
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2408934	AA	20011129	CA 2001-2408934	20010517
EP 1289964	A1	20030312	EP 2001-933980	20010517
EP 1289964	B1	20041020		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011019	A	20030617	BR 2001-11019	20010517
JP 2003534331	T2	20031118	JP 2001-586271	20010517
NZ 522410	A	20040924	NZ 2001-522410	20010517
AT 280162	E	20041115	AT 2001-933980	20010517
RU 2243222	C2	20041227	RU 2002-133220	20010517
ES 2230310	T3	20050501	ES 2001-1933980	20010517
US 2002004494	A1	20020110	US 2001-862522	20010522
US 6500822	B2	20021231		
ZA 2002008895	A	20040219	ZA 2002-8895	20021101
US 2003109524	A1	20030612	US 2002-289055	20021106
US 6645958	B2	20031111		
ZA 2002009029	A	20040206	ZA 2002-9029	20021106
NO 2002005641	A	20021217	NO 2002-5641	20021122
US 2004034018	A1	20040219	US 2003-632734	20030801
US 6818645	B2	20041116		
US 2004087581	A1	20040506	US 2003-685124	20031014
PRIORITY APPLN. INFO.:			US 2000-207483P	P 20000525
			US 2001-267617P	P 20010209
			US 2001-267579P	P 20010209

WO 2001-EP5631	W 20010517
US 2001-862286	A3 20010522
US 2001-862522	A3 20010522
US 2002-289055	A3 20021106

OTHER SOURCE(S) : MARPAT 136:6017
GI



AB Title compds. such as I and II were prepared. Thus, I was prepared in two steps from 3,4-dihydro-7-methoxy-2(1H)-naphthalenone and PrNH₂. Muscarinic inhibitory activities (expressed as pKi values) of I were 8.20 (m2), 7.56 (m3), 6.30 (m5).

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 14 OF 19 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN
 ACCESSION NUMBER: 2002-106163 [14] WPIDS
 CROSS REFERENCE: 2002-114276 [15]
 DOC. NO. CPI: C2002-032560
 TITLE: New substituted 1-aminoalkyl-lactams or their prodrugs, individual isomers, racemic or non-racemic mixtures of isomers, salts or solvates useful in treatment of smooth muscle disorders.
 DERWENT CLASS: B02 B03
 INVENTOR(S): DVORAK, C A; FISHER, L E; GREEN, K L; HARRIS, R N;
 MAAG, H; PRINCE, A; REPKE, D B; STABLER, R S; MADERA,
 M; STABLER, S; WEIKERT, J; HARRIS, R N I;
MADERA, A M; WEIKERT, R J
 PATENT ASSIGNEE(S): (HOFF) HOFFMANN LA ROCHE & CO AG F; (DVOR-I) DVORAK C
 A; (FISH-I) FISHER L E; (GREE-I) GREEN K L; (HARR-I)
 HARRIS R N; (MAAG-I) MAAG H; (PRIN-I) PRINCE A;
 (REPK-I) REPKE D B; (STAB-I) STABLER R S; (SYNT)
 SYNTEX USA LLC
 COUNTRY COUNT: 92
 PATENT INFORMATION:

PATENT NO	KIND DATE	WEEK	LA	PG
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Searcher : Shears 571-272-2528

WO 2001090081 A1 20011129 (200214)* EN 100
 RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW
 MZ NL OA PT SD SE SL SZ TR TZ UG ZW
 W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CO CU CZ DE DK EC EE
 ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK
 LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG
 SI SK SL TJ TM TR TT UA UG UZ VN YU ZA ZW

US 2002004501 A1 20020110 (200214)
 AU 2002010122 A 20011203 (200221)
 EP 1289965 A1 20030312 (200320) EN
 R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL
 PT RO SE SI TR

NO 2002005640 A 20030122 (200320)
 KR 2003003763 A 20030110 (200333)
 BR 2001011061 A 20030415 (200334)
 CN 1430610 A 20030716 (200363)
 HU 2003002010 A2 20030929 (200369)
 JP 2003534330 W 20031118 (200401) 149
 JP 2003534331 W 20031118 (200401) 94
 US 6667301 B2 20031223 (200408)
 MX 2002011418 A1 20030401 (200415)
 CZ 2002004200 A3 20040114 (200429)
 US 2004087581 A1 20040506 (200430)
 ZA 2002009029 A 20040428 (200432) # 109
 NZ 522411 A 20040528 (200437)
 RU 2241702 C2 20041210 (200508)
 IN 2002001889 P4 20050211 (200539) EN
 AU 782191 B2 20050707 (200551)
 EP 1289965 B1 20051026 (200571) EN
 R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC NL PT RO
 SE SI TR

DE 60114413 E 20051201 (200580)
 DE 60106607 T2 20060209 (200611)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2001090081	A1	WO 2001-EP5584	20010516
US 2002004501	A1 Provisional	US 2000-207483P	20000525
	Provisional	US 2001-267579P	20010209
		US 2001-862286	20010522
AU 2002010122	A	AU 2002-10122	20010516
EP 1289965	A1	EP 2001-980030	20010516
		WO 2001-EP5584	20010516
NO 2002005640	A	WO 2001-EP5584	20010516
		NO 2002-5640	20021122
KR 2003003763	A	KR 2002-715885	20021123
BR 2001011061	A	BR 2001-11061	20010516
		WO 2001-EP5584	20010516
CN 1430610	A	CN 2001-810043	20010516
HU 2003002010	A2	WO 2001-EP5584	20010516
		HU 2003-2010	20010516
JP 2003534330	W	JP 2001-586270	20010516
		WO 2001-EP5584	20010516
JP 2003534331	W	JP 2001-586271	20010517
		WO 2001-EP5631	20010517
US 6667301	B2 Provisional	US 2000-207483P	20000525

	Provisional	US 2001-267579P	20010209
		US 2001-862286	20010522
MX 2002011418	A1	WO 2001-EP5584	20010516
		MX 2002-11418	20021119
CZ 2002004200	A3	WO 2001-EP5584	20010516
		CZ 2002-4200	20010516
US 2004087581	A1	US 2000-207483P	20000525
	Provisional	US 2001-267579P	20010209
	Div ex	US 2001-862286	20010522
		US 2003-685124	20031014
ZA 2002009029	A	ZA 2002-9029	20021106
NZ 522411	A	NZ 2001-522411	20010516
		WO 2001-EP5584	20010516
RU 2241702	C2	WO 2001-EP5584	20010516
		RU 2002-133208	20010516
IN 2002001889	P4	WO 2001-EP5584	20010516
		IN 2002-CN1889	20021120
AU 782191	B2	AU 2002-10122	20010516
EP 1289965	B1	EP 2001-980030	20010516
		WO 2001-EP5584	20010516
DE 60114413	E	DE 2001-00114413	20010516
		EP 2001-980030	20010516
		WO 2001-EP5584	20010516
DE 60106607	T2	DE 2001-00106607	20010517
		EP 2001-933980	20010517
		WO 2001-EP5631	20010517

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2002010122	A Based on	WO 2001090081
EP 1289965	A1 Based on	WO 2001090081
BR 2001011061	A Based on	WO 2001090081
HU 2003002010	A2 Based on	WO 2001090081
JP 2003534330	W Based on	WO 2001090081
JP 2003534331	W Based on	WO 2001090082
MX 2002011418	A1 Based on	WO 2001090081
CZ 2002004200	A3 Based on	WO 2001090081
US 2004087581	A1 Div ex	US 6667301
NZ 522411	A Based on	WO 2001090081
RU 2241702	C2 Based on	WO 2001090081
AU 782191	B2 Previous Publ. Based on	AU 2002010122 WO 2001090081
EP 1289965	B1 Based on	WO 2001090081
DE 60114413	E Based on Based on	EP 1289965 WO 2001090081
DE 60106607	T2 Based on Based on	EP 1289964 WO 2001090082

PRIORITY APPLN. INFO: US 2001-267579P 20010209; US
 2000-207483P 20000525; US
 2001-862286 20010522; US
 2001-267617P 20010209; US
 2003-685124 20031014; ZA
 2002-9029 20021106

AN 2002-106163 [14] WPIDS
 CR 2002-114276 [15]
 AB WO 200190081 A UPAB: 20060214

NOVELTY - Substituted 1-aminoalkyl-lactams (I) or their prodrugs, individual isomers, racemic or non-racemic mixtures of isomers, salts or solvates are new.

DETAILED DESCRIPTION - Substituted 1-aminoalkyl-lactams of formula (I) or their prodrugs, individual isomers, racemic or non-racemic mixtures of isomers, salts or solvates are new.

R₁, R₂ and R₃ = H, halogen, 1-6C alkyl, -OR', -SR', -NR'R'', -SOR', -SO₂R', -COOR', -OCOR', -OCONR'R'', -OSO₂R', -OSO₂NR'R'', -NR'SO₂R'', -NR'COR'', -SO₂NR'R'', -SO₂(CH₂)₀₋₃CONR'R'', -CONR'R'', cyano, halogenalkyl or nitro;

CR₁R₂ = 5- to 7-membered aromatic, optionally saturated ring, optionally incorporating one or two ring heteroatoms selected from N, S(O)₀₋₂ or O, and optionally substituted with 1-6C alkyl, halogen, cyano or lower alkoxy;

R' and R'' = H, optionally substituted 1-6C alkyl, 0-3C-alkyl-alkoxy, (hetero)aryl, heterocyclyl, aryl-(1-3C)-alkyl, heteroaryl-(1-3C)-alkyl, heterocyclyl-(1-3C)-alkyl or (cycloalkyl)alkyl;

NR'R'' = 5- to 7-membered ring, optionally incorporating one additional ring heteroatom selected from N, O or S(O)₀₋₂;

R₄ = 1-6C alkyl;

R₅ = 1-6C alkyl, 1-6C alkenyl, 1-6C alkynyl or cycloalkyl;

X, Y and Z = -S-, -O-, -CH₂-, -N-R₆, -CH₂-;

R₆ = H, 1-6C alkyl, halogenalkyl, aryl-(1-6C)-alkyl, heteroaryl-(1-6C)-alkyl, -(1-6C)-CR'R'R'', -COOR', -SO₂R', -C(O)R', -SO₂(CH₂)₀₋₃NR'R'', -CONR'R'', -C(O)OCH₂OC(O)R', -C(O)O-CH₂-S-C(O)-R' or -PO(OR')₂;

m = 0 - 3; and

n = 1 - 6.

provided that one of X, Y and Z = -S-, -O-, -CH₂- or -N-R₆, the others are -CH₂-.

An INDEPENDENT CLAIM is also included for the preparation of (I).

ACTIVITY - Antiinflammatory; Antidiarrheic; Analgesic; Antiasthmatic; Uropathic.

MECHANISM OF ACTION - Muscarinic M₂/M₃ receptor antagonist.

1-(4-((2-(3,3-dimethyl-2,3-dihydrobenzofuran-6-yl)-1-methylethyl)propyl-amino)butyl)-piperidine-2-one, hydrochloride salt (A) was tested for in vitro inhibitory activity using a method described in Hegde, S.S et al., Br. J. Pharmacol. 1997, 120 (1409-1418).. Cell membranes from Chinese hamster ovary cells expressing the recombinant human muscarinic receptors (m₁ - m₅) were employed. The assays were conducted with the radioligand (³H)N-methyl scopolamine (0.4 nM, specific activity 84 Ci.mmol⁻¹) in a final volume of 0.25 ml Tris-Kreb buffer. Non-specific binding was defined with 1 micro M atropine. Assays were performed using scintillation proximity assay technology. The ratio of m₂ and m₃ for (A) showed pKi value of 1.2.

USE - In the manufacture of a medicament that is useful in the treatment or prevention of a disease state, which is alleviated with M₂/M₃ muscarinic antagonist and associated with smooth muscle disorders such as genitourinary or gastrointestinal tract and respiratory states (claimed). Genitourinary tract disorders includes overactive bladder or the symptoms usually manifested in detrusor hyperactivity and its symptoms such as changes symptomatically manifested as urgency, frequency, reduced bladder capacity, incontinence episodes; the changes urodynamically manifested as changes in bladder capacity, micurition threshold, unstable bladder contractions, sphincteric spasticity, detrusor hyperreflexia (neurogenic bladder), in conditions such as outlet obstruction, outlet

insufficiency, pelvic hypersensitivity or in idiopathic conditions such as detrusor instability. Gastrointestinal tract disorders includes irritable bowel syndrome, diverticular disease, achalasia, gastrointestinal hypermotility disorders and diarrhea. Respiratory tract disorders includes chronic obstructive pulmonary disease, asthma, pain and pulmonary fibrosis.

ADVANTAGE - (I) shows reduced side effects and is M2/M3 selective muscarinic receptor antagonist.

Dwg.0/0

L20 ANSWER 15 OF 19 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 92155524 EMBASE

DOCUMENT NUMBER: 1992155524

TITLE: Novel benzothiophene-, benzofuran-, and naphthalenecarboxamidotetrazoles as potential antiallergy agents.

AUTHOR: Connor D.T.; Cetenko W.A.; Mullican M.D.; Sorenson R.J.; Unangst P.C.; Weikert R.J.; Adolphson R.L.; Kennedy J.A.; Thueson D.O.; Wright C.D.; Conroy M.C.

CORPORATE SOURCE: Department of Chemistry, Parke-Davis Pharmaceutical Res. Div., Warner-Lambert Company, 2800 Plymouth Road, Ann Arbor, MI 48105, United States

SOURCE: Journal of Medicinal Chemistry, (1992) Vol. 35, No. 5, pp. 958-965. .

ISSN: 0022-2623 CODEN: JMCMAR

COUNTRY: United States

DOCUMENT TYPE: Journal; Conference Article

FILE SEGMENT: 026 Immunology, Serology and Transplantation

030 Pharmacology

037 Drug Literature Index

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 920621
Last Updated on STN: 920621

AB The synthesis and antiallergic activity of a series of novel benzothiophene-, benzofuran-, and naphthalenecarboxamidotetrazoles are described. A number of the compounds inhibit the release of histamine from anti-IgE stimulated basophils obtained from allergic donors. Optimal inhibition is exhibited in benzothiophenes with a 3-alkoxy substituent in combination with a 5-methoxy, 6-methoxy, or a 5,6-dimethoxy group. Compound 13c (CI-959) also inhibited respiratory burst of human neutrophils and the release of mediators from anti-IgE-stimulated human chopped lung.

L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 6

ACCESSION NUMBER: 1989:407305 CAPLUS

DOCUMENT NUMBER: 111:7305

TITLE: Novel indolecarboxamidotetrazoles as potential antiallergy agents

AUTHOR(S): Unangst, Paul C.; Connor, David T.; Stabler, S. Russell; Weikert, Robert J.; Carethers, Mary E.; Kennedy, John A.; Thueson, David O.; Chestnut, James C.; Adolphson, Richard L.; Conroy, M. C.

CORPORATE SOURCE: Dep. Chem., Parke-Davis Pharm. Res. Div., Ann Arbor, MI, 48105, USA

SOURCE: Journal of Medicinal Chemistry (1989), 32(6),

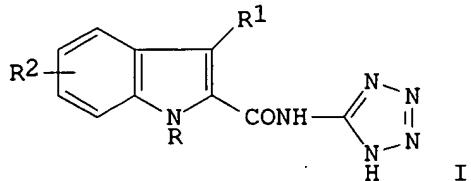
1360-6
 CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE:
 LANGUAGE:
 OTHER SOURCE(S):
 GI

Journal

English

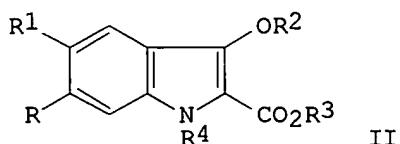
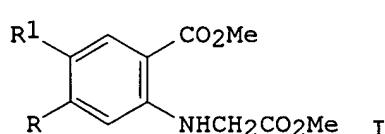
CASREACT 111:7305



AB The synthesis and antiallergic potential of a series of novel indolecarboxamidotetrazoles I [R = Ph, H, 4-MeOC₆H₄, Me, CH₂Ph; R1 = OH, OMe, OEt, OCHMe₂, O(CH₂)₈Me, H, CHMe₂, SMe, SO₂Me, SCHMe₂, SPh, OC₆H₄NO₂-4; R2 = 4-, 5-, 6-OMe, 5-OH, 5-OCH₂Ph, 5-Me, 5-Br, 5-Cl] is described. A number of compds. inhibit the release of histamine from anti-IgE-stimulated basophilic leukocyte obtained from allergic donors. Optimal inhibition is exhibited by compds. with 3-alkoxy, 5-methoxy, and 1-Ph substituents on the **indole** core structure. I (R = Ph, R1 = OCHMe₂, R2 = 5-OMe), designated CI-949, is a potent inhibitor of histamine release from human basophils and from guinea pig and human chopped lung.

L20 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 7

ACCESSION NUMBER: 1988:131497 CAPLUS
 DOCUMENT NUMBER: 108:131497
 TITLE: Synthesis of novel 1-phenyl-1H-**indole**
 -2-carboxylic acids. I. Utilization of Ullmann and
 Dieckmann reactions for the preparation of
 3-hydroxy, 3-alkoxy, and 3-alkyl derivatives
 AUTHOR(S): Unangst, Paul C.; Connor, David T.; Stabler, S.
 Russell; Weikert, Robert J.
 CORPORATE SOURCE: Dep. Chem., Warner-Lambert/Parke-Davis Pharm.
 Res., Ann Arbor, MI, 48105, USA
 SOURCE: Journal of Heterocyclic Chemistry (1987), 24(3),
 811-15
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 108:131497
 GI



AB Methods for the synthesis of novel 3-hydroxy, 3-alkoxy, and 3-alkyl **indole**-2-carboxylic acids and esters are described. Dieckmann cyclization of various 2-[(carboxymethyl)amino]benzoic acid diesters yielded 1-unsubstituted-, 1-methyl-, and 1-phenyl-3-hydroxy-1H-**indole**-2-carboxylic acid esters. An Ullmann reaction with bromobenzene converted 1H-indoles to 1-phenylindoles. Thus, Dieckmann cyclization of benzoic acid diesters I (R = H, R1 = OMe, Br; R = R1 = Cl) gave **indole** esters II (R2 = H, R3 = Me, R4 = H), which on alkylation with Me2CHBr gave II (R2 = CHMe2). Ullmann reaction in PhBr as solvent and reagent converted II (R2 = CHMe2, R3 = Me, R4 = H) to II (R2 = CHMe2, R3 = Me, R4 = Ph) which upon saponification gave II (R2 = CHMe2, R3 = H, R4 = Ph).

L20 ANSWER 18 OF 19 SCISEARCH COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 1987:71806 SCISEARCH

THE GENUINE ARTICLE: F8679

TITLE: NOVEL INDOLE CARBAMOYLtetrazoles AS POTENTIAL ANTIALLERGY AGENTS

AUTHOR: UNANGST P C (Reprint); CONNOR D T; STABLER S R; WEIKERT R J; CARETHERS M E

CORPORATE SOURCE: WARNER LAMBERT PARKE DAVIS, PHARMACEUT RES, ANN ARBOR, MI 48105

COUNTRY OF AUTHOR: USA

SOURCE: ABSTRACTS OF PAPERS OF THE AMERICAN CHEMICAL SOCIETY, (7 SEP 1986) Vol. 192, pp. 62-MEDI.

ISSN: 0065-7727.

PUBLISHER: AMER CHEMICAL SOC, 1155 16TH ST, NW, WASHINGTON, DC 20036.

DOCUMENT TYPE: Conference; Journal

LANGUAGE: English

REFERENCE COUNT: 0

ENTRY DATE: Entered STN: 1994
Last Updated on STN: 1994

L20 ANSWER 19 OF 19 CONFSCI COPYRIGHT 2006 CSA on STN

ACCESSION NUMBER: 86:46332 CONFSCI

DOCUMENT NUMBER: 87001271

TITLE: Novel **indole** carbamoyltetrazoles as potential antiallergy agents

AUTHOR: Unangst, P.C.; Connor, D.T.; Stabler, S.R.; Weikert, R.J.; Carethers, M.E.

SOURCE: ACS Distribution Office, 210, 1155 16th Street, N.W., Washington, DC 20036 (USA). Telephone: (202) 872-4405, Contact specific authors of specific papers for copies of entire papers. ACS will publish a book of abstracts. Price: \$34.00.

Meeting Info.: 863 0396: American Chemical Society 192nd Meeting (8630396). Anaheim, CA (USA). 7-12 Sep 1986. American Chemical Society (ACS).

DOCUMENT TYPE: Conference

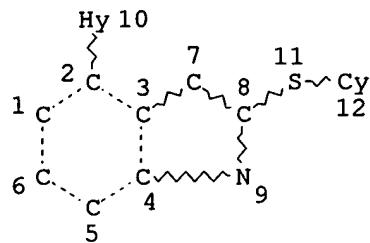
FILE SEGMENT: DCCP

LANGUAGE: UNAVAILABLE

FILE 'HOME' ENTERED AT 13:17:44 ON 15 MAR 2006

10/663335

=> d que stat l2; d que stat l11; d his ful
L1 STR



NODE ATTRIBUTES:

CONNECT IS X3 RC AT 7
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

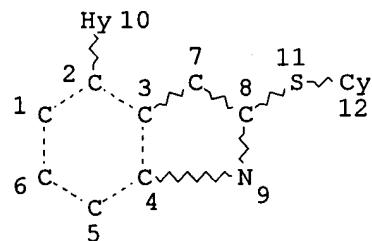
L2 34 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 8713 ITERATIONS
SEARCH TIME: 00.00.01

34 ANSWERS

L7

STR



NODE ATTRIBUTES:

CONNECT IS X3 RC AT 7
DEFAULT MLEVEL IS ATOM
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DEFAULT ECLEVEL IS LIMITED

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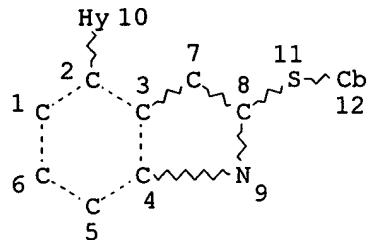
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NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

10/663335

L9 105 SEA FILE=MARPAT SSS FUL L7 (MODIFIED ATTRIBUTES)
L10 STR



NODE ATTRIBUTES:

CONNECT IS X3 RC AT 7
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 10
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

L11 29 SEA FILE=MARPAT SUB=L9 SSS FUL L10 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 94 ITERATIONS 29 ANSWERS
SEARCH TIME: 00.00.01

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DEL HIS Y
ACT WARDP6633/A

L1 STR
L2 34 SEA SSS FUL L1

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D QUE STAT

FILE 'CAPLUS' ENTERED AT 12:12:10 ON 15 MAR 2006
L3 3 SEA ABB=ON PLU=ON L2
D 1-3 IBIB ABS HITSTR

FILE 'CAOLD' ENTERED AT 12:12:22 ON 15 MAR 2006
L4 0 SEA ABB=ON PLU=ON L2

FILE 'USPATFULL' ENTERED AT 12:12:40 ON 15 MAR 2006
L5 1 SEA ABB=ON PLU=ON L2
D IBIB ABS

FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 12:12:52 ON 15 MAR 2006

Searcher : Shears 571-272-2528

10/663335

L6 0 SEA ABB=ON PLU=ON L2

FILE 'MARPAT' ENTERED AT 12:12:57 ON 15 MAR 2006

L7 STR L1
L8 3 SEA SSS SAM L7 (MODIFIED ATTRIBUTES)
L9 105 SEA SSS FUL L7 (MODIFIED ATTRIBUTES)
L10 STR L7
L11 29 SEA SUB=L9 SSS FUL L10 (MODIFIED ATTRIBUTES)
D QUE STAT
D 1-29 .BEVMARI

FILE 'HOME' ENTERED AT 12:16:29 ON 15 MAR 2006

D QUE STAT L2
D QUE STAT L11
D COST

FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH,
JICST-EPLUS, JAPIO' ENTERED AT 13:09:26 ON 15 MAR 2006

L12 95 SEA ABB=ON PLU=ON "MADERA A"?/AU
L13 89 SEA ABB=ON PLU=ON "WEIKERT R"?/AU
L14 17 SEA ABB=ON PLU=ON L12 AND L13
L15 15 SEA ABB=ON PLU=ON (L12 OR L13) AND INDOLE
L16 28 SEA ABB=ON PLU=ON L14 OR L15
L17 19 DUP REM L16 (9 DUPLICATES REMOVED)
D 1-19 IBIB ABS

FILE 'HOME' ENTERED AT 13:11:09 ON 15 MAR 2006

D QUE STAT L2
D QUE STAT L11
D COST

FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH,
JICST-EPLUS, JAPIO' ENTERED AT 13:16:41 ON 15 MAR 2006

L18 15 SEA ABB=ON PLU=ON (L12 OR L13) AND ?INDOLE
L19 28 SEA ABB=ON PLU=ON L14 OR L18
L20 19 DUP REM L19 (9 DUPLICATES REMOVED)
D L20 1-19 IBIB ABS

FILE 'HOME' ENTERED AT 13:17:44 ON 15 MAR 2006

D QUE STAT L2
D QUE STAT L11

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 14 MAR 2006 HIGHEST RN 876856-38-1
DICTIONARY FILE UPDATES: 14 MAR 2006 HIGHEST RN 876856-38-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

*

Searcher : Shears 571-272-2528

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* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMI
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

FILE CAPLUS

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FILE COVERS 1907 - 15 Mar 2006 VOL 144 ISS 12
FILE LAST UPDATED: 14 Mar 2006 (20060314/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply
They are available for your review at:

<http://www.cas.org/infopolicy.html>

FILE CAOLD

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate
substance identification. Title keywords, authors, patent
assignees, and patent information, e.g., patent numbers, are
now searchable from 1907-1966. TIFF images of CA abstracts
printed between 1907-1966 are available in the PAGE
display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REGISTRY for direct browsing and searching of
all substance data from the REGISTRY file. Enter HELP FIRST for
more information.

FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 14 Mar 2006 (20060314/PD)

FILE LAST UPDATED: 14 Mar 2006 (20060314/ED)

HIGHEST GRANTED PATENT NUMBER: US7013485

HIGHEST APPLICATION PUBLICATION NUMBER: US2006053519

Searcher : Shears 571-272-2528

10/663335

CA INDEXING IS CURRENT THROUGH 14 Mar 2006 (20060314/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 14 Mar 2006 (20060314/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2005

FILE MEDLINE

FILE LAST UPDATED: 14 MAR 2006 (20060314/UP). FILE COVERS 1950 TO DA

On December 11, 2005, the 2006 MeSH terms were loaded.

The MEDLINE reload for 2006 is now (26 Feb.) available. For details on the 2006 reload, enter HELP RLOAD at an arrow prompt (=>).
See also:

<http://www.nlm.nih.gov/mesh/>
http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html
http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_med_data_changes.html
http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_2006_MeSH.html

OLDMEDLINE is covered back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BIOSIS

FILE COVERS 1969 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 9 March 2006 (20060309/ED)

FILE EMBASE

FILE COVERS 1974 TO 10 Mar 2006 (20060310/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

The updates on February 20 and 24, 2006, were incomplete due to a technical problem. The problem has been corrected, and the missing records were included in the update on March 3, 2006. If you received SDI results from the original updates on February 20 and 24, you will automatically be credited for the update that was rerun on March 3.

If you have any questions, please contact your STN Service Center.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE MARPAT

FILE CONTENT: 1910-PRESENT VOL 144 ISS 11 (20060310/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1910-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES

Searcher : Shears 571-272-2528

10/663335

(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 2006030554 09 FEB 2006
DE 102004053311 05 JAN 2006
EP 1609846 28 DEC 2005
JP 2006003337 05 JAN 2006
WO 2006012333 02 FEB 2006
GB 2415429 28 DEC 2005
FR 2873371 27 JAN 2006
RU 2266908 27 DEC 2005
CA 2495134 23 DEC 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

FILE HOME

FILE WPIDS

FILE LAST UPDATED: 10 MAR 2006 <20060310/UP>
MOST RECENT DERWENT UPDATE: 200617 <200617/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,
PLEASE VISIT:
http://www.stn-international.de/training_center/patents/stn_guide.pdf

>>> FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE
<http://scientific.thomson.com/support/patents/coverage/latestupdates/>

>>> FOR INFORMATION ON ALL DERWENT WORLD PATENTS INDEX USER
GUIDES, PLEASE VISIT:
<http://scientific.thomson.com/support/products/dwpi/>

>>> FAST-ALERTING ACCESS TO NEWLY-PUBLISHED PATENT
DOCUMENTATION NOW AVAILABLE IN DERWENT WORLD PATENTS INDEX
FIRST VIEW - FILE WPIFV.
FOR FURTHER DETAILS:
<http://scientific.thomson.com/support/products/dwpifv/>

>>> THE CPI AND EPI MANUAL CODES WILL BE REVISED FROM UPDATE 200601.
PLEASE CHECK:
<http://scientific.thomson.com/support/patents/dwpiref/reftools/classif>

>>> PLEASE BE AWARE OF THE NEW IPC REFORM IN 2006, SEE
http://www.stn-international.de/stndatabases/details/ipc_reform.html
[<<<](http://scientific.thomson.com/media/scpdf/ipcrdwpi.pdf)

FILE CONFSCI
FILE COVERS 1973 TO 25 May 2005 (20050525/ED)

CSA has suspended updates until further notice.

FILE SCISEARCH

FILE COVERS 1974 TO 9 Mar 2006 (20060309/ED)

10/663335

SCISEARCH has been reloaded, see HELP RLOAD for details.

FILE JICST-EPLUS
FILE COVERS 1985 TO 13 MAR 2006 (20060313/ED)

THE JICST-EPLUS FILE HAS BEEN RELOADED TO REFLECT THE 1999 CONTROLLED TERM (/CT) THESAURUS RELOAD.

FILE JAPIO
FILE COVERS APR 1973 TO OCTOBER 27, 2005

>>> GRAPHIC IMAGES AVAILABLE <<<

>>> NEW IPC8 DATA AND FUNCTIONALITY NOT YET AVAILABLE IN THIS FILE.
USE IPC7 FORMAT FOR SEARCHING THE IPC. WATCH THIS SPACE FOR FURTHER DEVELOPMENTS AND SEE OUR NEWS SECTION FOR FURTHER INFORMATION ABOUT THE IPC REFORM <<<